

10/694,467

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FILE COVERS 1907 - 18 May 2005 VOL 142 ISS 21

FILE LAST UPDATED: 17 May 2005 (20050517/ED)

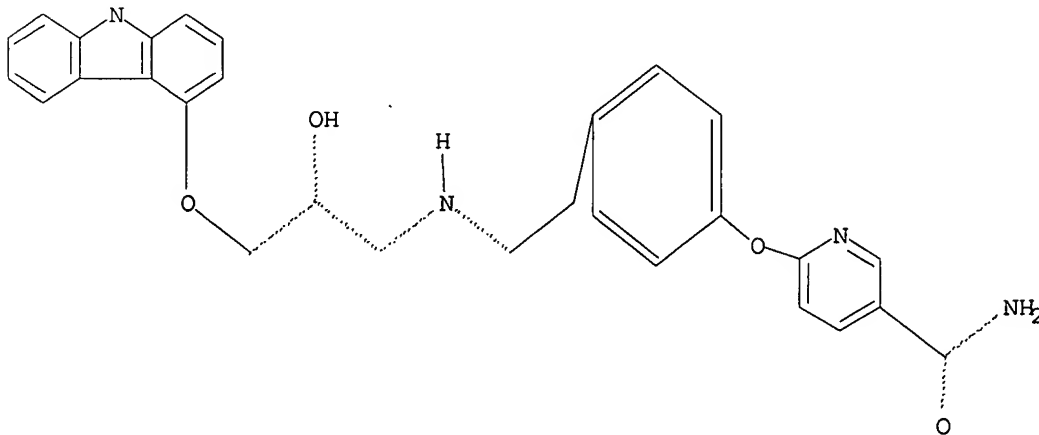
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L1

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Structure attributes must be viewed using STN Express query preparation.

L3 12 SEA FILE=REGISTRY SSS FUL L1

L4 6 SEA FILE=CAPLUS L3

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L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:636024 CAPLUS

DOCUMENT NUMBER: 135:210825

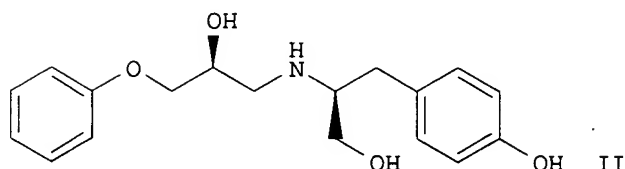
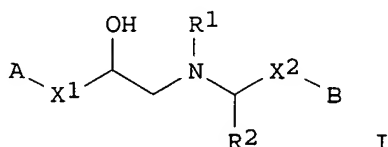
TITLE: Preparation of 1-phenoxy-3-amino-2-propanols as  $\beta_3$  adrenergic receptor agonists

INVENTOR(S): Taniguchi, Kiyoshi; Kayakiri, Hiroshi; Sakurai, Minoru; Fujii, Naoaki; Washizuka, Kenichi; Hamashima, Hitoshi; Tomishima, Yasuyo; Hamada, Kaori; Yamamoto, Nobuhiro; Ishikawa, Hirofumi; Unami, Naoko; Miura, Toshiko

10/694,467

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 71 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062705	A2	20010830	WO 2001-JP1442	20010226
WO 2001062705	A3	20030116		
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
EP 1292564	A2	20030319	EP 2001-906332	20010226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
JP 2003525882	T2	20030902	JP 2001-561715	20010226
US 2003073846	A1	20030417	US 2002-181970	20020806
PRIORITY APPLN. INFO.:			AU 2000-5850	A 20000228
			WO 2001-JP1442	W 20010226
OTHER SOURCE(S):		MARPAT 135:210825		
GI				



AB The title compds. (I) [wherein X1 = a bond or O(CH2)m; m = 1-3; X2 = a bond, (CH2)n, or CH2O; n = 1-3; R1 = H or amino protective group; R2 = hydroxyalkyl or alkoxyalkyl; A = (un)substituted Ph, pyridyl, indolyl, or carbazolyl; B = (un)substituted Ph or pyridyl; or pharmaceutically acceptable salts thereof] were prepared as  $\beta_3$  adrenergic receptor agonists. For example, refluxing (S)-(oxiranylmethoxy)benzene, (S)-3-(4-hydroxyphenyl)-1-propanol-HCl, and N,N-diisopropylethylamine in MeOH afforded II. The latter in dogs reduced carbachol-induced increase in intravesical pressure from 6.8 mm Hg (controls) to 2.0 mm Hg. I are useful for the prophylactic and/or therapeutic treatment of pollakiuria, urinary incontinence, a wasting condition, or emaciation.

IT 204592-94-9P 357409-00-8P

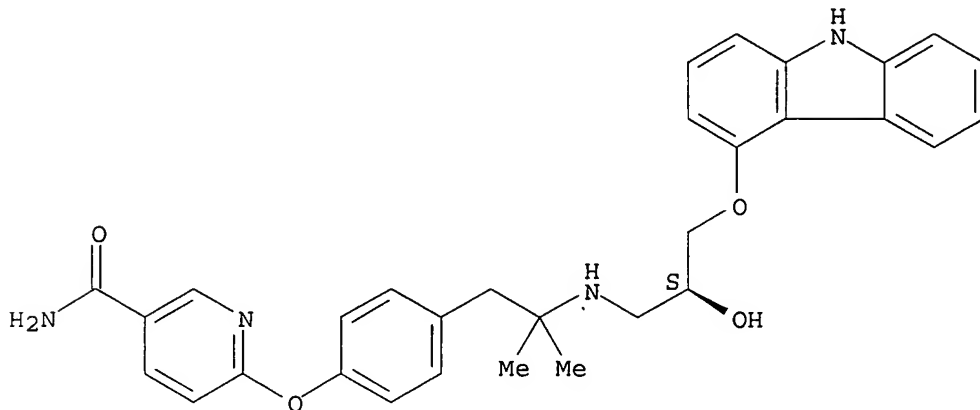
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of phenoxyaminopropanols as  $\beta_3$  adrenergic receptor agonists for treatment of pollakiuria, urinary incontinence, wasting conditions, or emaciation)

10/694,467

RN 204592-94-9 CAPLUS

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]- (9CI) (CA INDEX NAME)

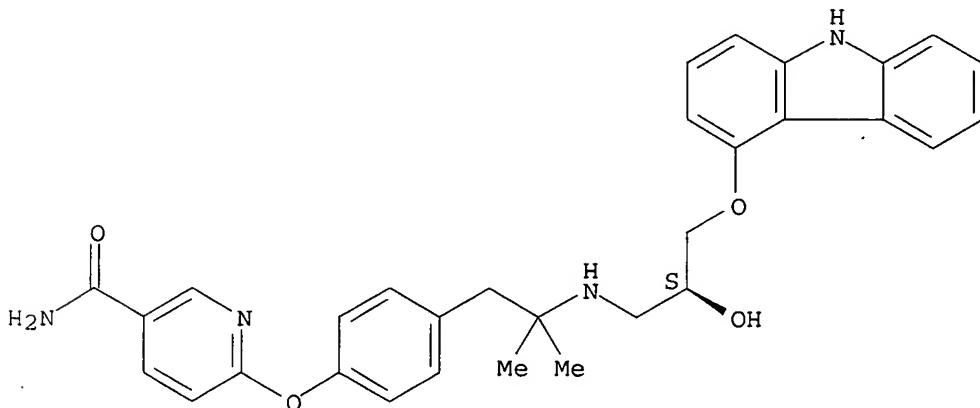
Absolute stereochemistry.



RN 357409-00-8 CAPLUS

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●x HCl

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:380578 CAPLUS

DOCUMENT NUMBER: 135:5531

TITLE: Process for the preparation of aryloxypropanolamines from oxiranylmethoxyarenes and pyridinyloxyphenylbutylamines.

INVENTOR(S): Hopkins, Randall Bruce; Hancock, Deana Lori; Quimby, Michael Eugene; Rothhaar, Roger Ryan; Werner, John Arnold; Bush, Julie Kay; Dunlap, Steven Eugene; Fisher, Jack Wayne

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

10/694,467

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

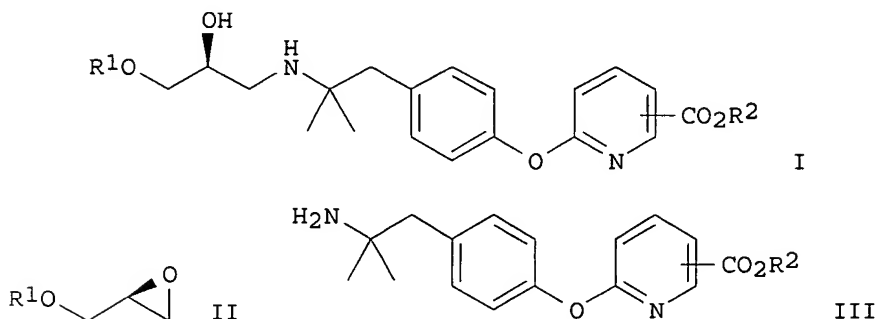
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036412	A1	20010525	WO 2000-US30128	20001113
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 1999-165594P P 19991115

OTHER SOURCE(S): MARPAT 135:5531

GI



AB Title compds. [I; R1 = (substituted) aryl; R2 = alkyl, (substituted) aralkyl], were prepared by reaction of oxiranylmethoxyarenes (II; R1 = specified aryl) with amines (III; R2 as above) followed by reaction with an acid to form a quaternary ammonium salt, and optional crystallization. Thus, 4-[(2S)-oxiranylmethoxy]-1H-indole and Me 2-[4-(2-amino-2-methylpropyl)phenoxy]-3-pyridine were heated in MeOH at 70° for 24 h to give 89% Me (S)-2-[4-[2-[2-hydroxy-3-(1H-indol-4-yloxy)propylamino]-2-methylpropyl]phenoxy]-3-pyridinecarboxylate (IV) of 86.5% purity. The 2-hydroxyacetate salt of IV was prepared in 84% yield and 97.5% purity.

IT 204592-94-9P 341510-20-1P 341510-21-2P

341510-23-4P 341547-59-9P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

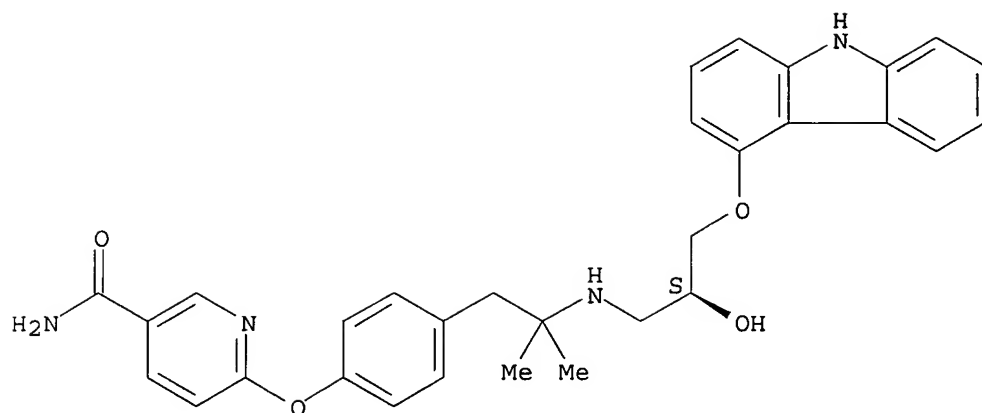
(process for the preparation of aryloxypropanolamines from oxiranylmethoxyarenes and pyridinyloxyphenylbutylamines)

RN 204592-94-9 CAPLUS

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/694,467



RN 341510-20-1 CAPLUS

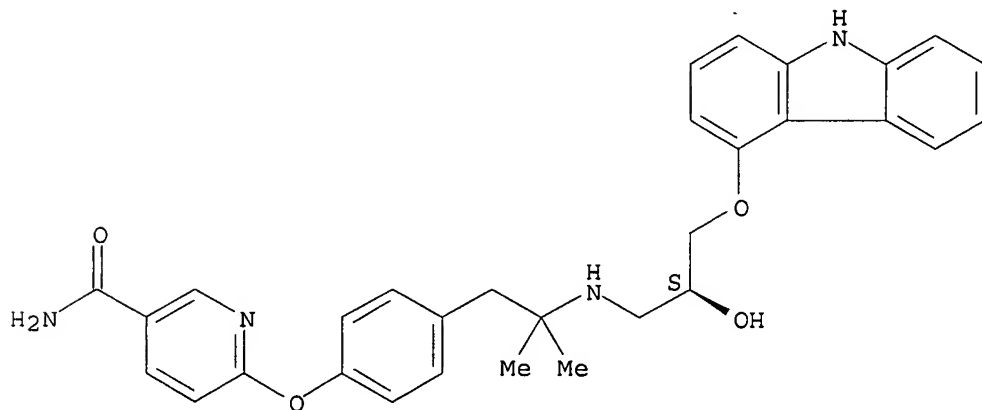
CN Acetic acid, hydroxy-, compd. with 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

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CRN 204592-94-9

CMF C31 H32 N4 O4

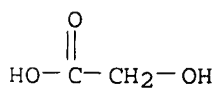
Absolute stereochemistry.



CM 2

CRN 79-14-1

CMF C2 H4 O3



RN 341510-21-2 CAPLUS

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, monobenzoate (salt) (9CI) (CA INDEX NAME)

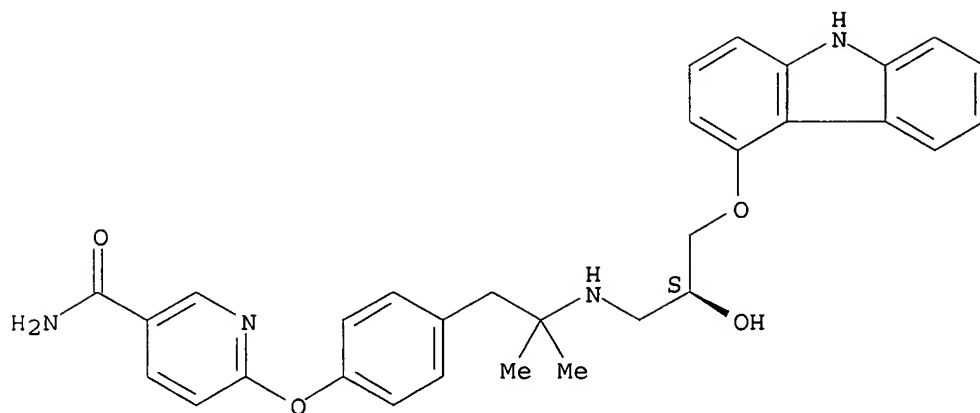
10/694,467

CM 1

CRN 204592-94-9

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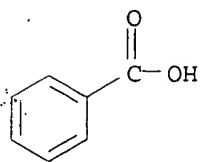
Absolute stereochemistry.



CM 2

CRN 65-85-0

CMF C7 H6 O2



RN 341510-23-4 CAPLUS

CN Benzoic acid, 4-hydroxy-, compd. with 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

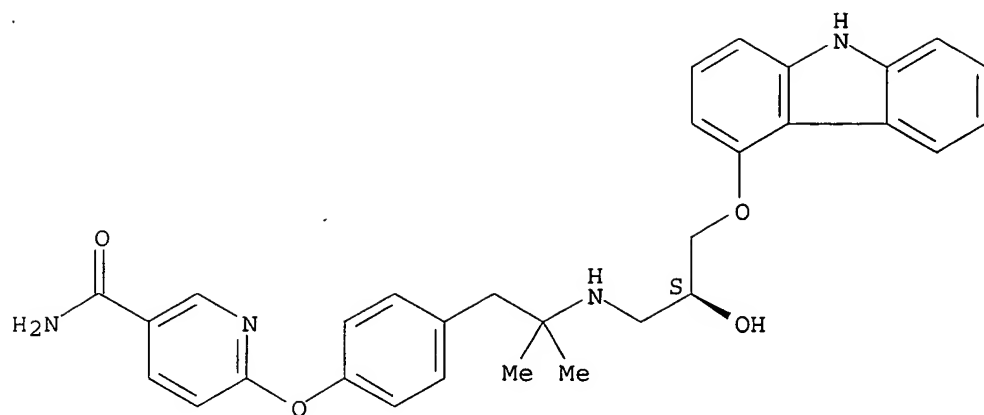
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CRN 204592-94-9

CMF C31 H32 N4 O4

Absolute stereochemistry.

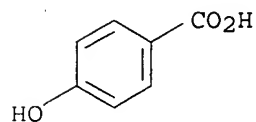
10/694,467



CM 2

CRN 99-96-7

CMF C7 H6 O3



RN 341547-59-9 CAPLUS

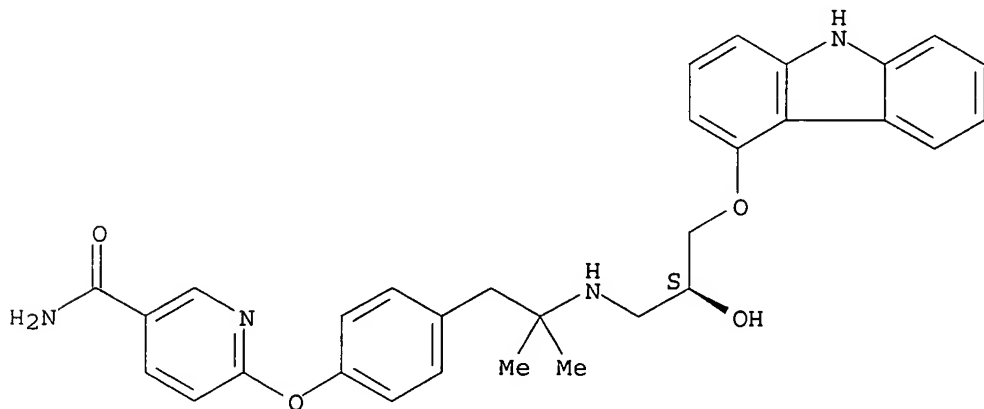
CN Benzoic acid, 4-methyl-, compd. with 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-3-pyridinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 204592-94-9

CMF C31 H32 N4 O4

Absolute stereochemistry.

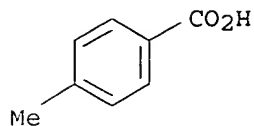


CM 2

CRN 99-94-5

10/694,467

CMF C8 H8 O2



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:155240 CAPLUS

DOCUMENT NUMBER: 135:40399

TITLE:  $\beta$ 3-Adrenergic receptor ligands: insight into structure-activity relationships using Monte-Carlo conformational analysis in water

AUTHOR(S): De Amici, M.; De Micheli, C.; Kassi, L.; Carrea, G.; Ottolina, G.; Colombo, G.

CORPORATE SOURCE: Istituto di Chimica Farmaceutica e Tossicologica, Universita di Milano, Milan, 20131, Italy

SOURCE: Tetrahedron (2001), 57(9), 1849-1855

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB This paper deals with the application of a Monte-Carlo (MC)-based conformational anal. carried out in water on a set of known  $\beta$ 3-adrenergic ligands. On the basis of their conformation at the global min., the mols. under study can be grouped into two clusters: the 'extended' and the 'folded' cluster. Each cluster is identified by well-defined values of torsion angles and distances between the pharmacophoric groups. It is worth noting that a ligand included in the cluster characterized by an extended conformation invariably shows a higher affinity for the human  $\beta$ 3-adrenoreceptor with respect to the corresponding rodent receptor.

IT 204592-94-9

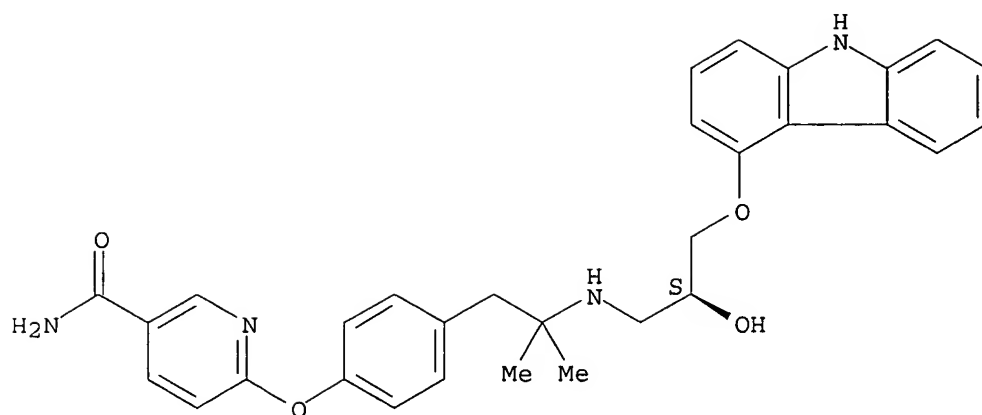
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (structure-activity relationships of  $\beta$ 3-adrenergic receptor agonists and antagonists using Monte-Carlo conformational anal. in water)

RN 204592-94-9 CAPLUS

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:78218 CAPLUS

DOCUMENT NUMBER: 134:125969

TITLE: Method using aryloxypropanolamine  $\beta$ 3 adrenergic agonists for treating type II diabetes and obesity as well as cardiac abnormalities

INVENTOR(S): Bloomquist, William Elmer; Cohen, Marlene Lois

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007026	A2	20010201	WO 2000-US16325	20000712
WO 2001007026	A3	20020228		

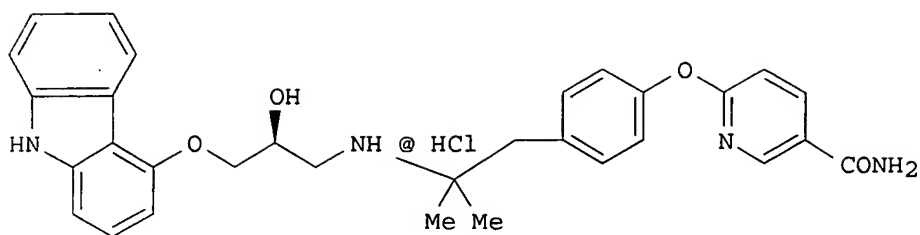
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-145149P P 19990722

OTHER SOURCE(S): MARPAT 134:125969

GI



AB A method is disclosed for agonizing the  $\beta_3$  receptor in a subject in need thereof. The method comprises administering to the subject between about 1.0 to about 5.0 mg/kg body weight/day of an aryloxypropanolamine compound (Markush included). Preferably, between about 2.0 to about 5.0 mg, more preferably 2.0 to about 4.8 mg, and even more preferably between about 3.0 to about 4.8 mg/kg body weight/day are administered. Comps. of the invention include e.g. I.

IT 204592-94-9 204592-97-2

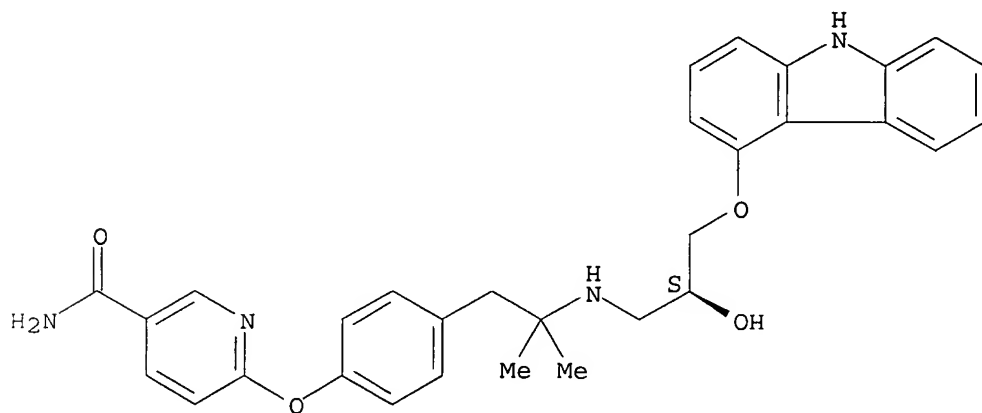
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(aryloxypropanolamine  $\beta_3$  adrenergic agonists for treating type II diabetes and obesity as well as cardiac abnormalities)

RN 204592-94-9 CAPLUS

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]- (9CI) (CA INDEX NAME)

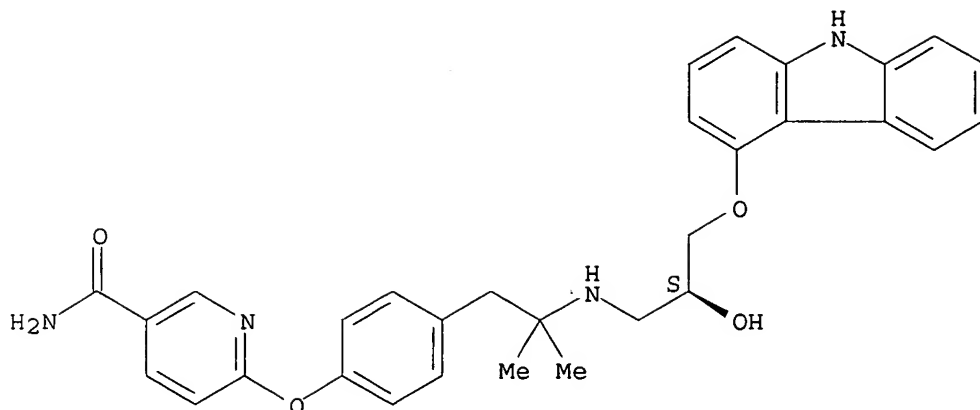
Absolute stereochemistry.



RN 204592-97-2 CAPLUS

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:78217 CAPLUS

DOCUMENT NUMBER: 134:125949

TITLE: Treatment of cardiac abnormalities with aryloxy propanolamines

INVENTOR(S): Bloomquist, William Elmer; Cohen, Marlene Lois

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007025	A2	20010201	WO 2000-US16320	20000711
WO 2001007025	A3	20020117		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-145174P P 19990722

OTHER SOURCE(S): MARPAT 134:125949

AB Disclosed is a method of treating cardiac dysfunction and cardiac abnormalities with aryloxy propanolamines in a subject in need of such treatment. The EC50 values and KB values of 15 aryloxy propanolamines for tachycardia was determined in rats.

IT 204592-97-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

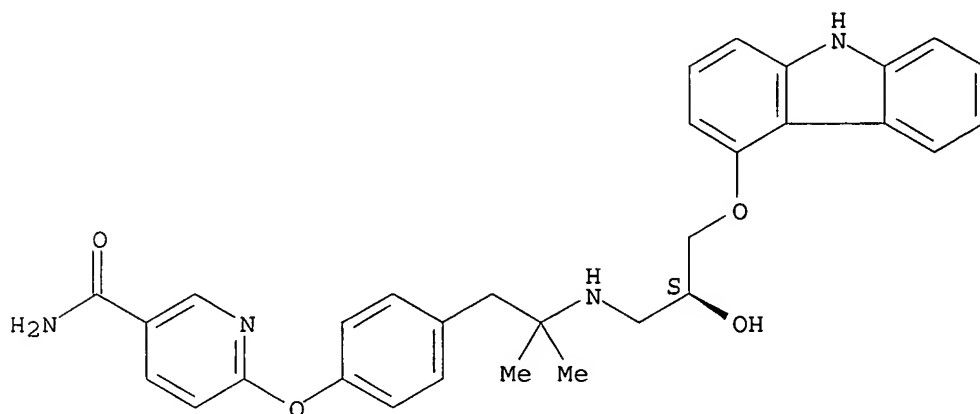
(treatment of cardiac abnormalities with aryloxy propanolamines)

RN 204592-97-2 CAPLUS

10/694,467

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, monohydrochloride (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



● HCl

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:169451 CAPLUS

DOCUMENT NUMBER: 128:230241

TITLE: Preparation of carbazole derivs. as selective  $\beta$ 3  
adrenergic agonists

INVENTOR(S): Crowell, Thomas A.; Evrard, Deborah A.; Jones, Charles  
D.; Muehl, Brian S.; Rito, Christopher J.; Shuker,  
Anthony J.; Thorpe, Andrew J.; Thrasher, Kenneth J.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Crowell, Thomas A.;  
Evrard, Deborah A.; Jones, Charles D.; Muehl, Brian  
S.; Rito, Christopher J.; Shuker, Anthony J.; Thorpe,  
Andrew J.; Thrasher, Kenneth J.

SOURCE: PCT Int. Appl., 135 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

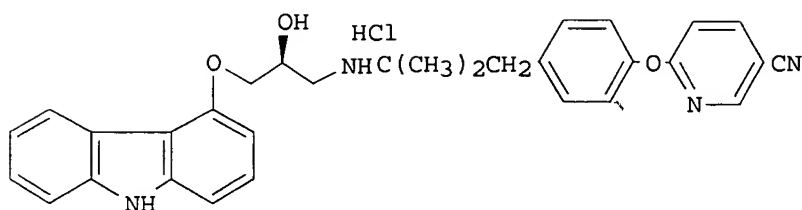
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9809625	A1	19980312	WO 1997-US15230	19970828
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EP 827746	A1	19980311	EP 1997-306613	19970827
EP 827746	B1	20020403		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
AT 215369	E	20020415	AT 1997-306613	19970827

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ES 2171839	T3	20020916	ES 1997-306613	19970827
CA 2236269	AA	19980312	CA 1997-2236269	19970828
AU 9740941	A1	19980326	AU 1997-40941	19970828
JP 2002513387	T2	20020508	JP 1998-512756	19970828
ZA 9707917	A	19990603	ZA 1997-7917	19970903
US 6140352	A	20001031	US 1998-68192	19980504
US 6413991	B1	20020702	US 2000-610096	20000630
US 2002165234	A1	20021107	US 2002-120302	20020410
US 6686372	B2	20040203		
US 2005043337	A1	20050224	US 2003-694467	20031027
PRIORITY APPLN. INFO.:			US 1996-25818P	P 19960905
			US 1996-29228P	P 19961030
			WO 1997-US15230	W 19970828
			US 1998-68192	A3 19980504
			US 2000-610096	A1 20000630
			US 2002-120302	A1 20020410

OTHER SOURCE(S): MARPAT 128:230241  
GI



II

AB Title compds. R1X1CH(OH)CH2N(R3)C(R5R6)X2X3R4 I (X1 = OCH2, SCH2, bond; X2 = bond, alkylene; X3 = O, S, bond; R1 = fused heterocycle; R3 = H, alkyl; R4 = (un)substituted heterocycle, naphthyl, etc.; R5 = H, alkyl; R6 = H, alkyl CO-O-alkyl; R5-R6 = cycloalkyl; R6-X2 = cycloalkyl; etc.) are prepared for selective  $\beta_3$  receptor agonists which are useful in the treatment of Type II diabetes and obesity, comprising administering to mammal. The title compound II was prepared from (2S)-(+)-4-(oxiranylmethoxy)-9H-carbazole and 2-(4-(2-amino-2-methylpropyl)phenoxy)-5-pyridinecarbonitrile which was prepared from 2-fluoropyridine and 4-(2-amino-2-methylpropyl)phenol.

IT 204592-90-5P 204592-94-9P 204592-97-2P  
204593-31-7P 204593-32-8P 204593-36-2P  
204593-37-3P

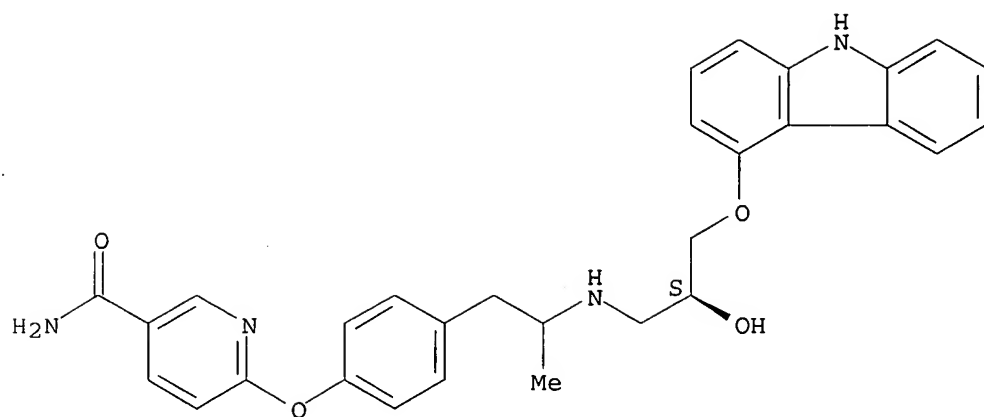
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of carbazole derivs. as adrenergic agonists)

RN 204592-90-5 CAPLUS

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]propyl]phenoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

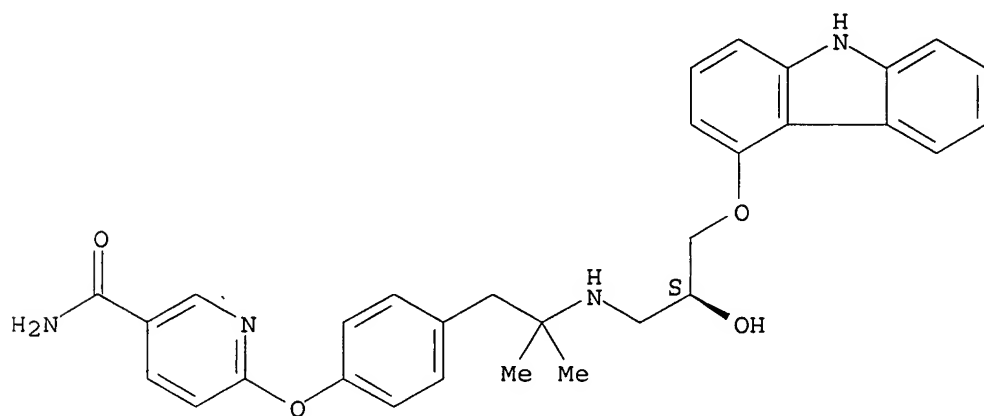
10/694,467



RN 204592-94-9 CAPLUS

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

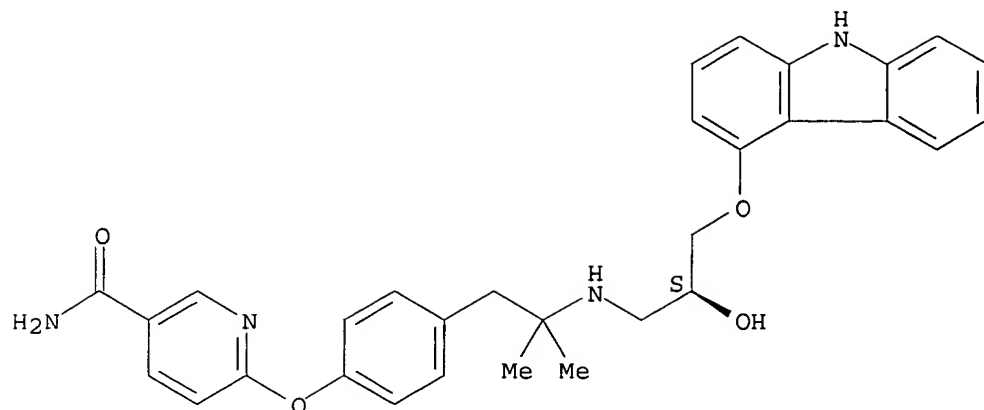


RN 204592-97-2 CAPLUS

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/694,467

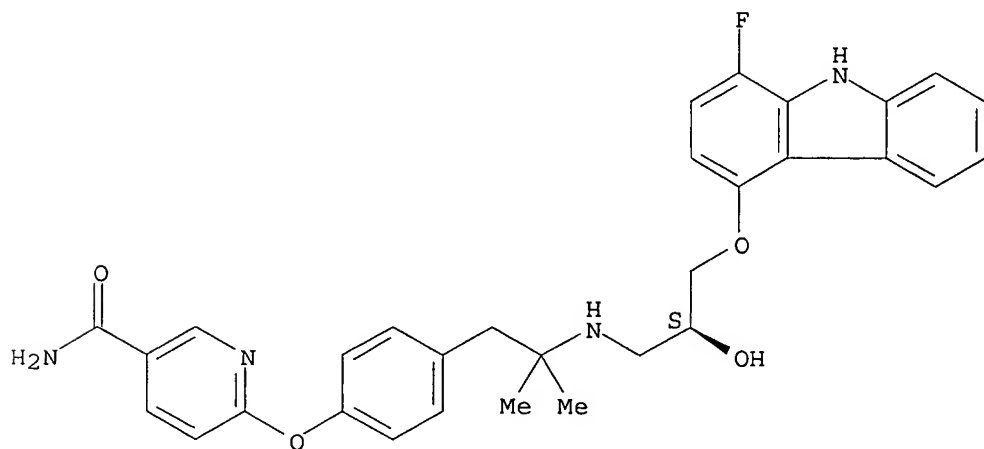


● HCl

RN 204593-31-7 CAPLUS

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-[(1-fluoro-9H-carbazol-4-yl)oxy]-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

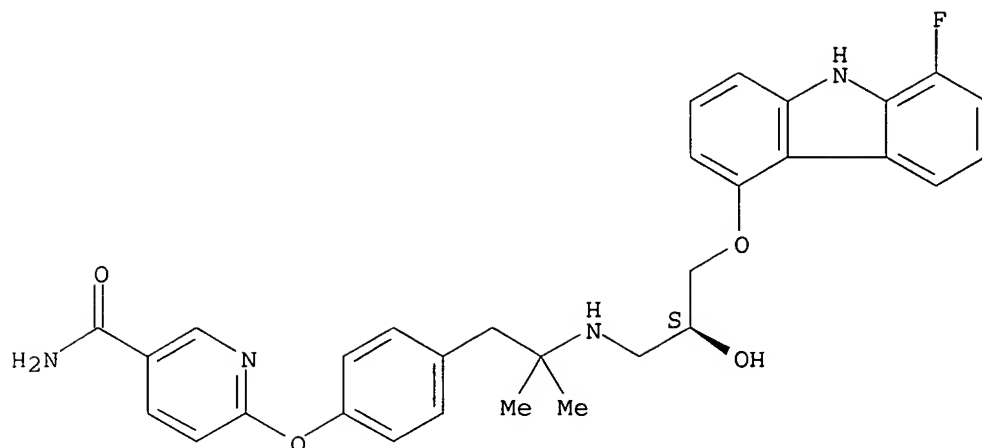


RN 204593-32-8 CAPLUS

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-[(8-fluoro-9H-carbazol-4-yl)oxy]-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/694,467



RN 204593-36-2 CAPLUS

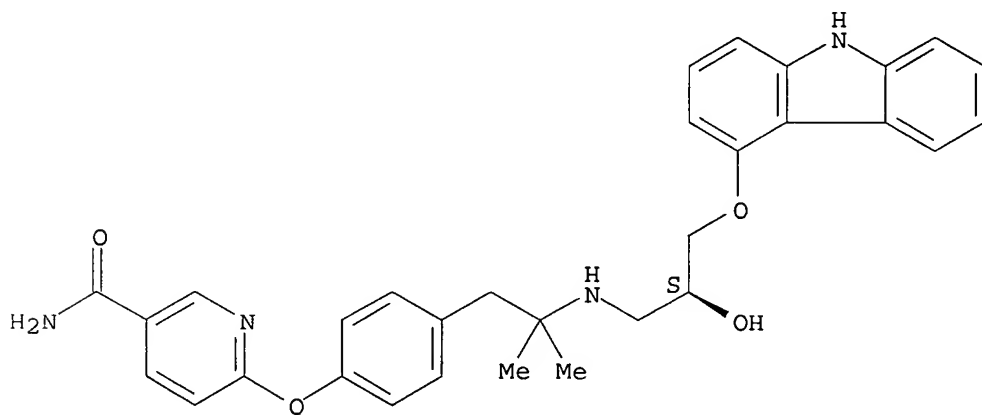
CN Butanedioic acid, compd. with (S)-6-[4-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-3-pyridinecarboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 204592-94-9

CMF C31 H32 N4 O4

Absolute stereochemistry.



CM 2

CRN 110-15-6

CMF C4 H6 O4

HO<sub>2</sub>C-CH<sub>2</sub>-CH<sub>2</sub>-CO<sub>2</sub>H

RN 204593-37-3 CAPLUS

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)



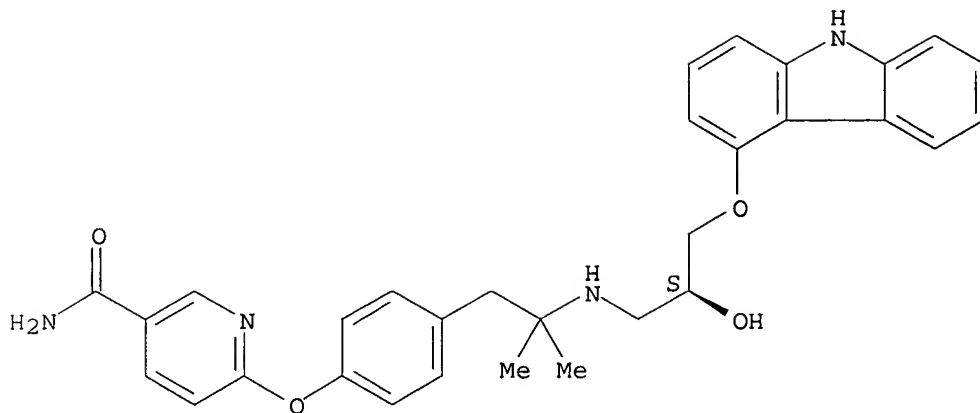
10/694,467

CM 1

CRN 204592-94-9

CMF C31 H32 N4 O4

Absolute stereochemistry.

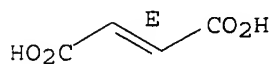


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> => file uspatall

FILE 'USPATFULL' ENTERED AT 15:51:50 ON 18 MAY 2005

CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

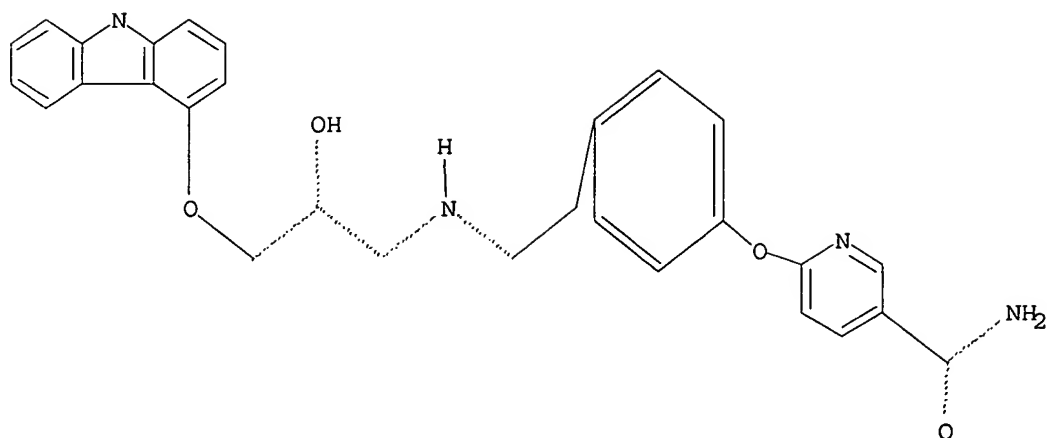
FILE 'USPAT2' ENTERED AT 15:51:50 ON 18 MAY 2005

CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que

L1 STR

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Structure attributes must be viewed using STN Express query preparation.

L3 12 SEA FILE=REGISTRY SSS FUL L1

L5 6 SEA L3

=> d l5 1-6 ibib abs hitstr

L5 ANSWER 1 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2005:50537 USPATFULL

TITLE: Selective beta3 adrenergic agonists

INVENTOR(S): Rito, Christopher J., Mooresville, IN, UNITED STATES  
Shuker, Anthony J., Indianapolis, IN, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005043337	A1	20050224
APPLICATION INFO.:	US 2003-694467	A1	20031027 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2002-120302, filed on 10 Apr 2002, GRANTED, Pat. No. US 6686372 Continuation of Ser. No. US 2000-610096, filed on 30 Jun 2000, GRANTED, Pat. No. US 6413991 Division of Ser. No. US 1998-68192, filed on 4 May 1998, GRANTED, Pat. No. US 6140352 A 371 of International Ser. No. WO 1997-US15230, filed on 28 Aug 1997, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-25818P	19960905 (60)
	US 1996-29228P	19961030 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	ELI LILLY AND COMPANY, PATENT DIVISION, P.O. BOX 6288, INDIANAPOLIS, IN, 46206-6288	
NUMBER OF CLAIMS:	52	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3221	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is in the field of medicine, particularly in the treatment of Type II diabetes and obesity. More specifically, the present invention relates to selective  $\beta_{sub.3}$  receptor agonists useful in the treatment of Type II diabetes and obesity. The invention provides compounds and methods of treating Type II diabetes and obesity, comprising administering to a mammal in need thereof compounds of

10/694,467

formula (I). ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

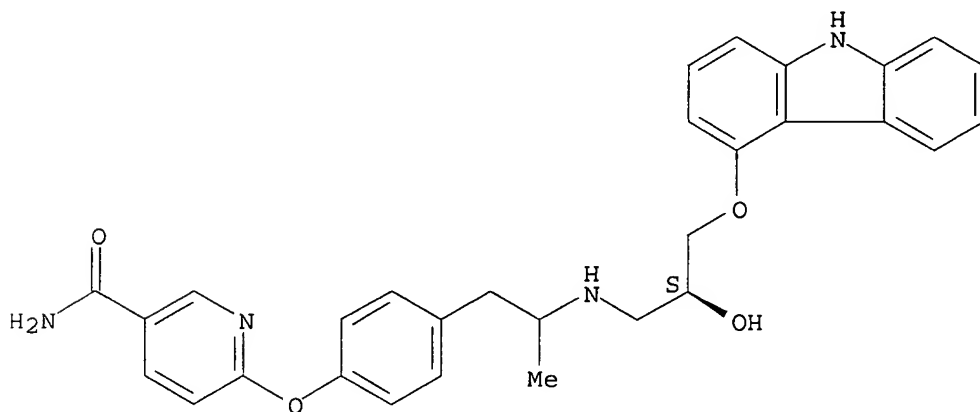
IT 204592-90-5P 204592-94-9P 204592-97-2P  
204593-31-7P 204593-32-8P 204593-36-2P  
204593-37-3P

(preparation of carbazole derivs. as adrenergic agonists)

RN 204592-90-5 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]propyl]phenoxy]-, (2S)- (9CI) (CA INDEX NAME)

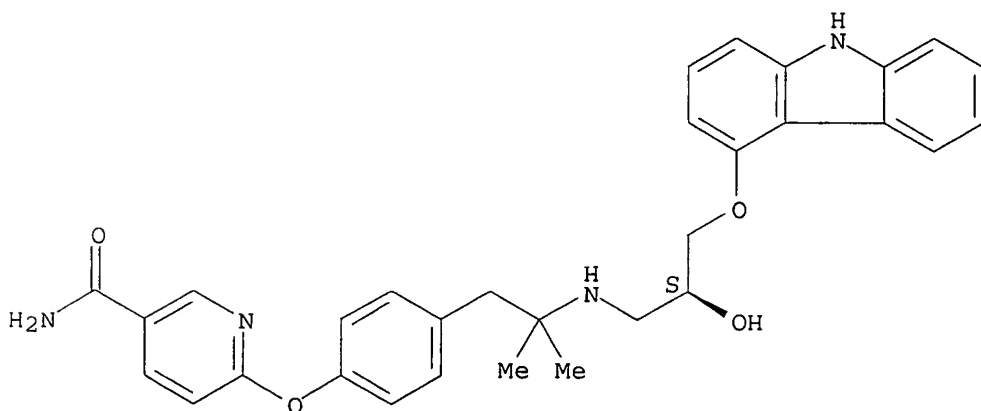
Absolute stereochemistry.



RN 204592-94-9 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

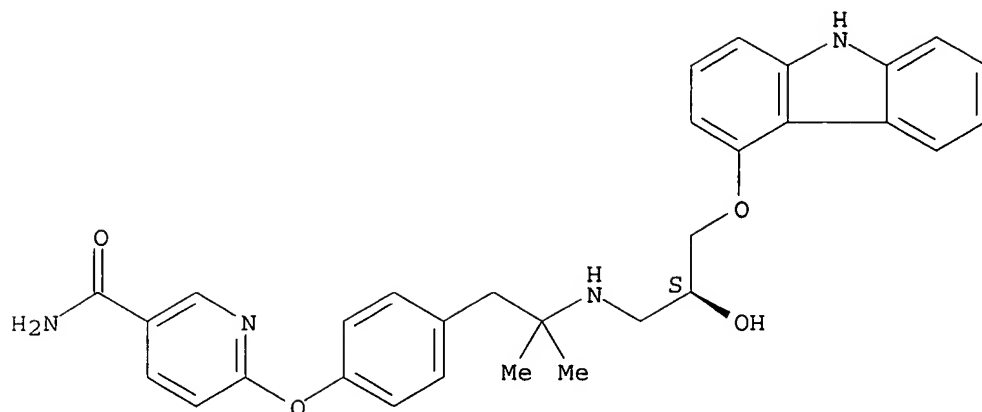


RN 204592-97-2 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/694,467

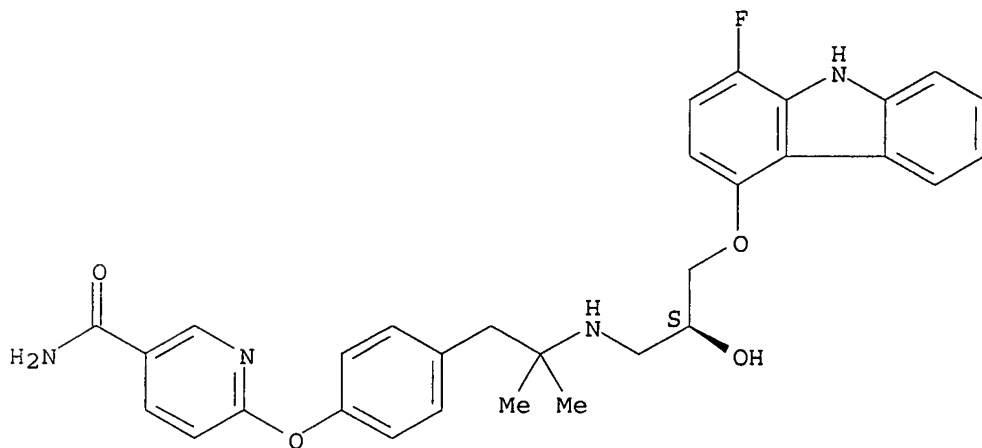


● HCl

RN 204593-31-7 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-[(1-fluoro-9H-carbazol-4-yl)oxy]-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

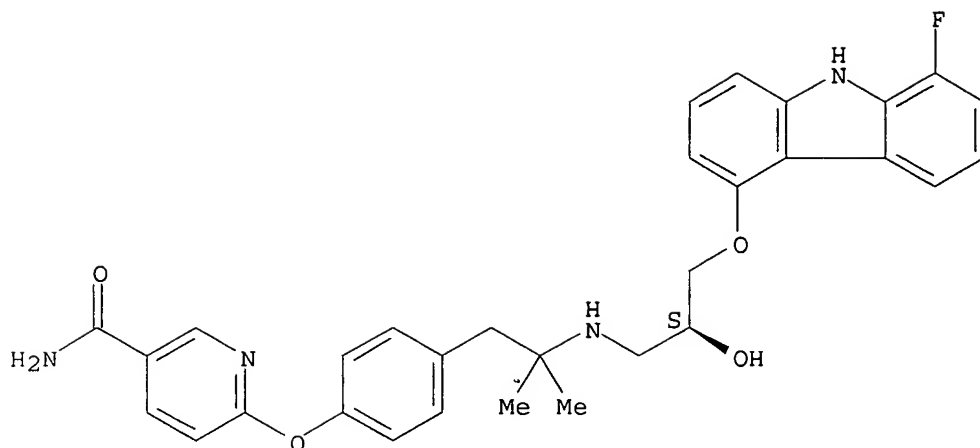


RN 204593-32-8 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-[(8-fluoro-9H-carbazol-4-yl)oxy]-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/694,467



RN 204593-36-2 USPATFULL

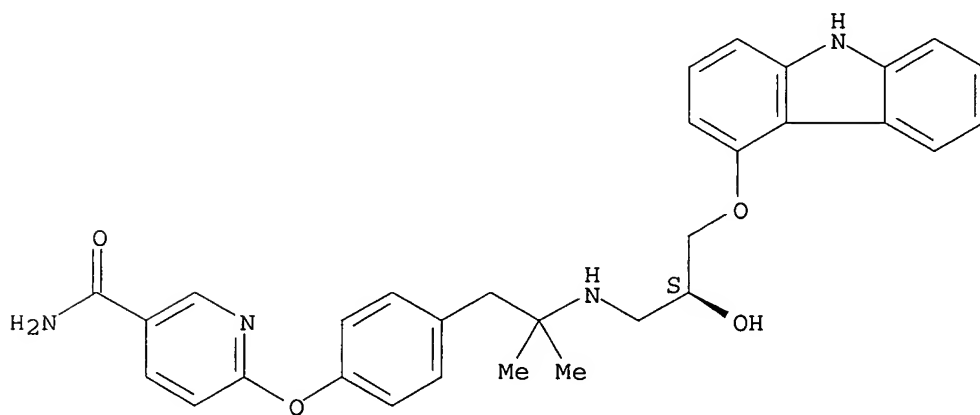
CN Butanedioic acid, compd. with (S)-6-[4-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-3-pyridinecarboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 204592-94-9

CMF C31 H32 N4 O4

Absolute stereochemistry.



CM 2

CRN 110-15-6

CMF C4 H6 O4

HO<sub>2</sub>C-CH<sub>2</sub>-CH<sub>2</sub>-CO<sub>2</sub>H

RN 204593-37-3 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

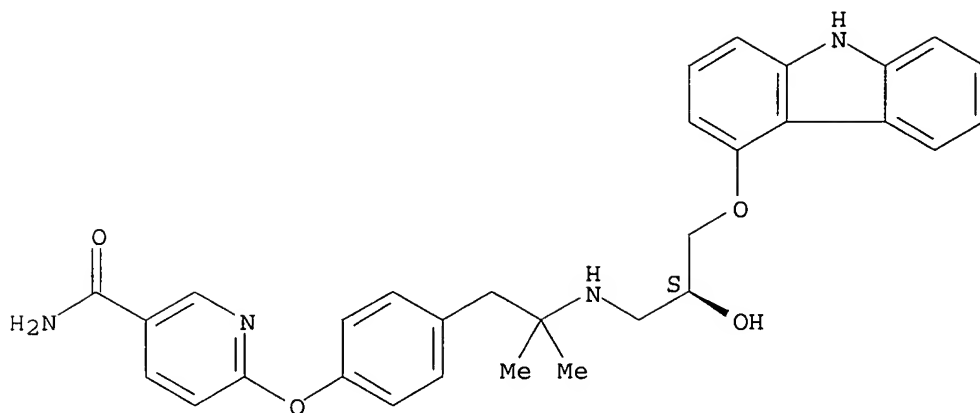
10/694,467

CM 1

CRN 204592-94-9

CMF C31 H32 N4 O4

Absolute stereochemistry.



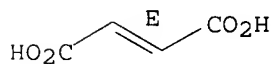
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



L5 ANSWER 2 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2003:106933 USPATFULL

TITLE: Aminoalcohol derivatives

INVENTOR(S): Taniguchi, Kiyoshi, Osaka, JAPAN

Kayakiri, Hiroshi, Osaka-shi, JAPAN

Sakurai, Minoru, Osaka-shi, JAPAN

Fujii, Naokaki, San Francisco, CANADA

Washizuka, Kenichi, Osaka-shi, JAPAN

Hamashima, Hitoshi, Osaka-shi, JAPAN

Tomishima, Yasuyo, Osaka-shi, JAPAN

Hamada, Kaori, Osaka-shi, JAPAN

Yamamoto, Nobuhiro, Osaka-shi, JAPAN

Ishikawa, Hirofumi, Osaka-shi, JAPAN

Unami, Naoko, Osaka-shi, JAPAN

Miura, Toshiko, Osaka-shi, JAPAN

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003073846	A1	20030417
APPLICATION INFO.:	US 2002-181970	A1	20020806 (10)
	WO 2001-JP1442		20010226

	NUMBER	DATE
PRIORITY INFORMATION:	AU 2000-5850	20000228

10/694,467

DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: OBLON SPIVAK MCCLELLAND MAIER & NEUSTADT PC, FOURTH FLOOR, 1755 JEFFERSON DAVIS HIGHWAY, ARLINGTON, VA, 22202  
NUMBER OF CLAIMS: 16  
EXEMPLARY CLAIM: 1  
LINE COUNT: 1769

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound of the formula (I) wherein X1 ? is bond or --O(CH.sub.2).sub.m-- (in which m is an integral number of 1, 2 or 3); X.sub.2 is bond, --(CH.sub.2).sub.n--, etc. (in which n is an integral number of 1, 2 or 3); R.sub.1 is hydrogen or amino protective group; R.sub.2 is hydroxy(lower)alkyl or (lower)alkoxy(lower)alkyl; A is phenyl, pyridyl, indolyl or carbazolyl, each of which may be substituted with one or two substituent(s) selected from the group consisting of halogen, hydroxy, lower alkyl, etc.; and B is phenyl or pyridyl, each of which may be substituted with one or two substituent(s) selected from the group consisting of halogen, hydroxy, nitro, etc.; and a pharmaceutically acceptable salt thereof which is useful as a medicament. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

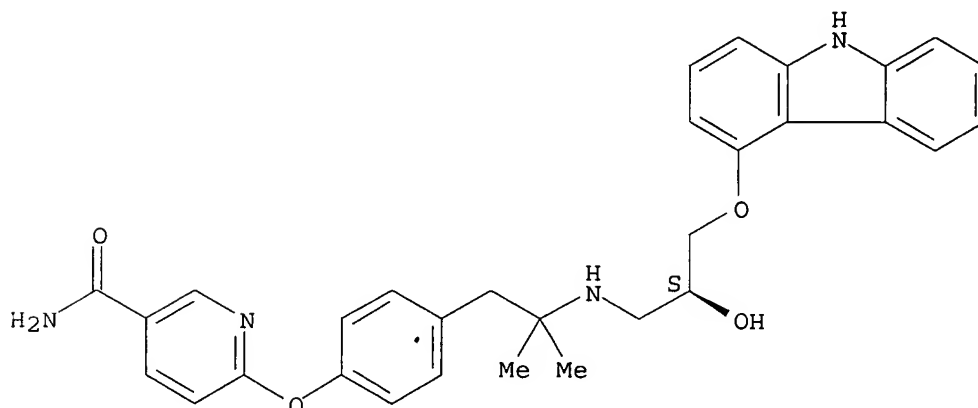
IT 204592-94-9P 357409-00-8P

(preparation of phenoxyaminopropanols as  $\beta$ 3 adrenergic receptor agonists for treatment of pollakiuria, urinary incontinence, wasting conditions, or emaciation)

RN 204592-94-9 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]- (9CI) (CA INDEX NAME)

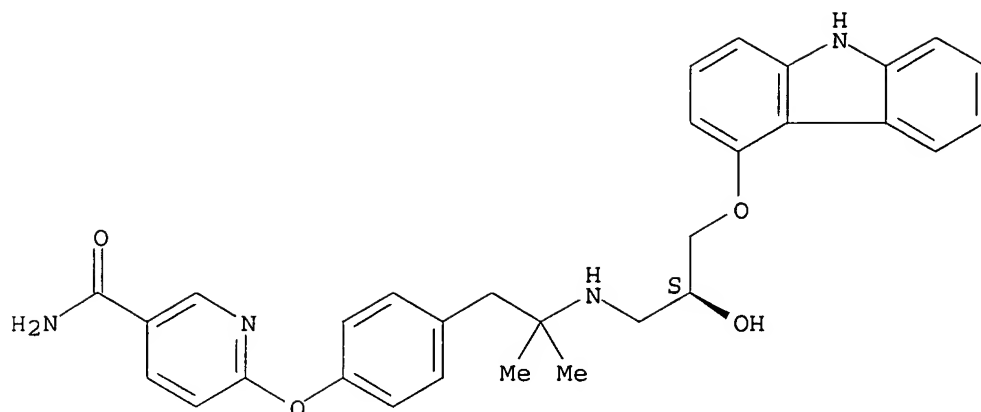
Absolute stereochemistry.



RN 357409-00-8 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● x HCl

L5 ANSWER 3 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2002:295187 USPATFULL

TITLE: Selective B3 adrenergic agonists

INVENTOR(S): Crowell, Thomas A., Indianapolis, IN, UNITED STATES  
 Evrard, Deborah A., Indianapolis, IN, UNITED STATES  
 Jones, Charles D., Indianapolis, IN, UNITED STATES  
 Muehl, Brian S., Indianapolis, IN, UNITED STATES  
 Rito, Christopher J., Mooresville, IN, UNITED STATES  
 Shuker, Anthony J., Indianapolis, IN, UNITED STATES  
 Thorpe, Andrew J., Ann Arbor, MI, UNITED STATES  
 Thrasher, Kenneth J., Indianapolis, IN, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002165234	A1	20021107
	US 6686372	B2	20040203
APPLICATION INFO.:	US 2002-120302	A1	20020410 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2000-610096, filed on 30 Jun 2000, GRANTED, Pat. No. US 6413991 Division of Ser. No. US 1998-68192, filed on 4 May 1998, GRANTED, Pat. No. US 6140352 A 371 of International Ser. No. WO 1997-US15230, filed on 28 Aug 1997, UNKNOWN		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-25818P	19960905 (60)
	US 1996-29228P	19961030 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	ELI LILLY AND COMPANY, PATENT DIVISION, P.O. BOX 6288, INDIANAPOLIS, IN, 46206-6288	
NUMBER OF CLAIMS:	52	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3257	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is in the field of medicine, particularly in the treatment of Type II diabetes and obesity. More specifically, the present invention relates to selective  $\beta_{sub.3}$  receptor agonists useful in the treatment of Type II diabetes and obesity. The invention



provides compounds and methods of treating type II diabetes and obesity, comprising administering to a mammal in need thereof compounds of the Formula I: ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

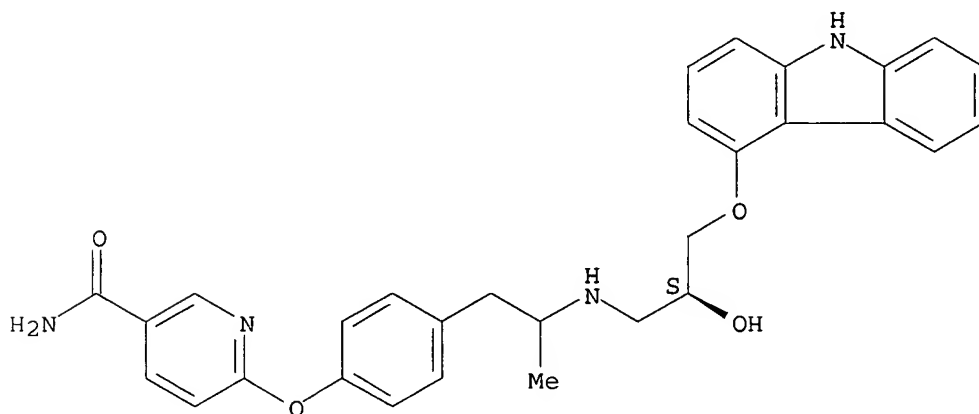
IT 204592-90-5P 204592-94-9P 204592-97-2P  
204593-31-7P 204593-32-8P 204593-36-2P  
204593-37-3P

(preparation of carbazole derivs. as adrenergic agonists)

RN 204592-90-5 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]propyl]phenoxy]-, (2S)- (9CI) (CA INDEX NAME)

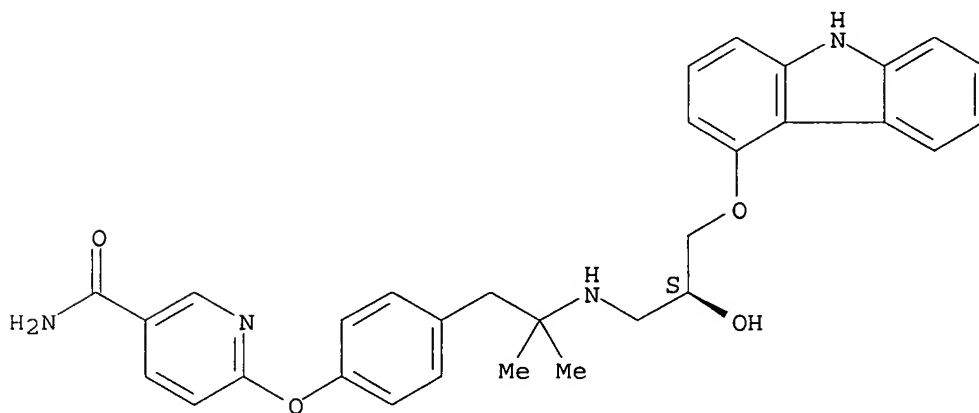
Absolute stereochemistry.



RN 204592-94-9 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

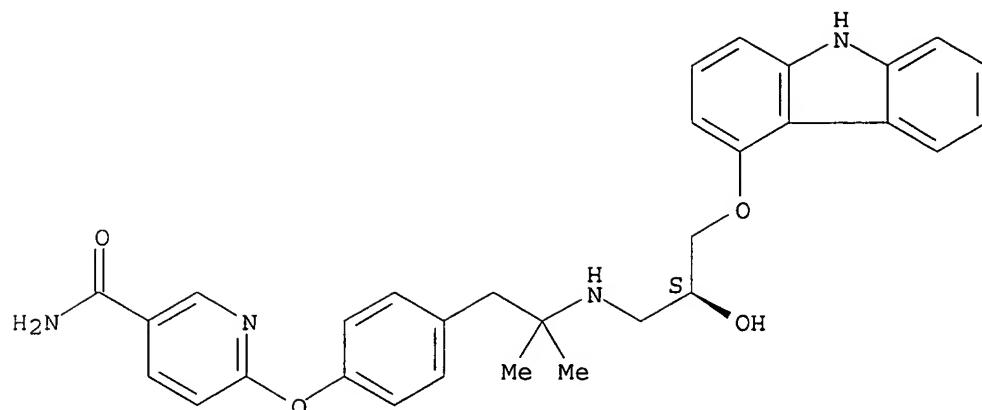


RN 204592-97-2 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/694,467

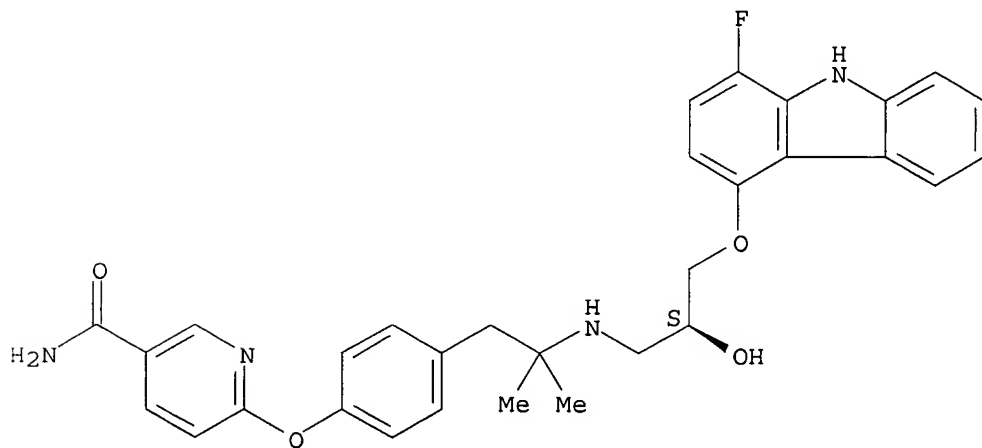


● HCl

RN 204593-31-7 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-[(1-fluoro-9H-carbazol-4-yl)oxy]-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

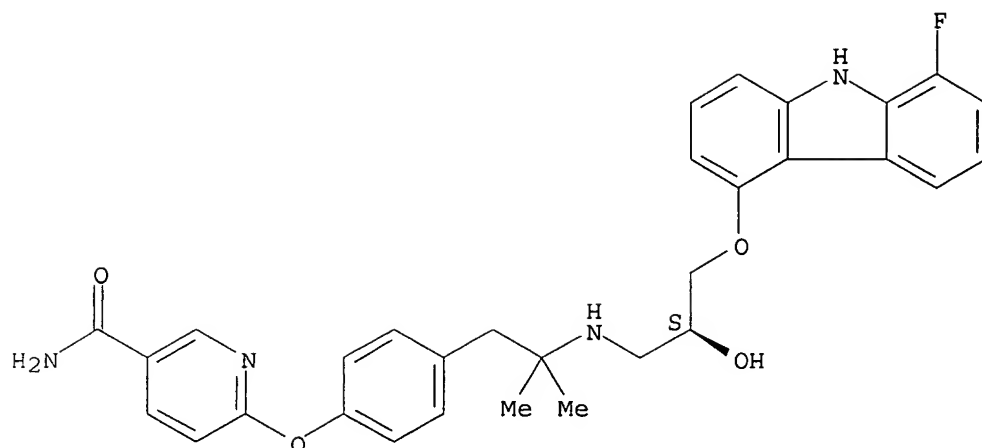


RN 204593-32-8 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-[(8-fluoro-9H-carbazol-4-yl)oxy]-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/694,467



RN 204593-36-2 USPATFULL

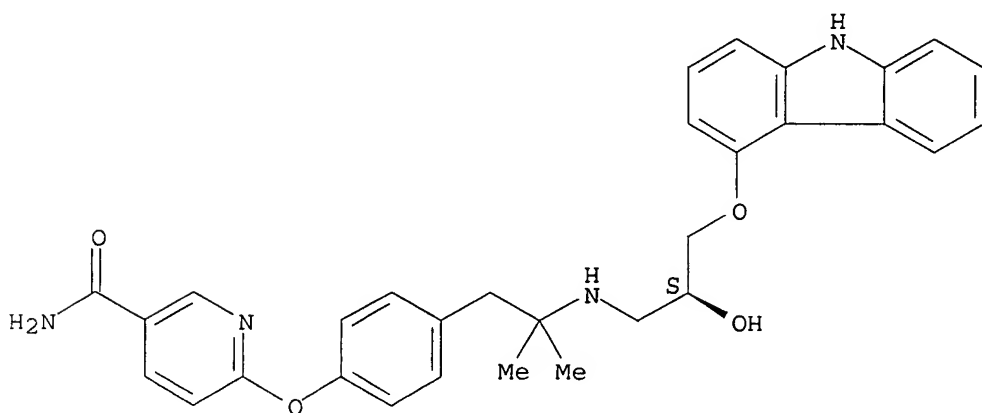
CN Butanedioic acid, compd. with (S)-6-[4-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-3-pyridinecarboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 204592-94-9

CMF C31 H32 N4 O4

Absolute stereochemistry.



CM 2

CRN 110-15-6

CMF C4 H6 O4

$\text{HO}_2\text{C}-\text{CH}_2-\text{CH}_2-\text{CO}_2\text{H}$

RN 204593-37-3 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

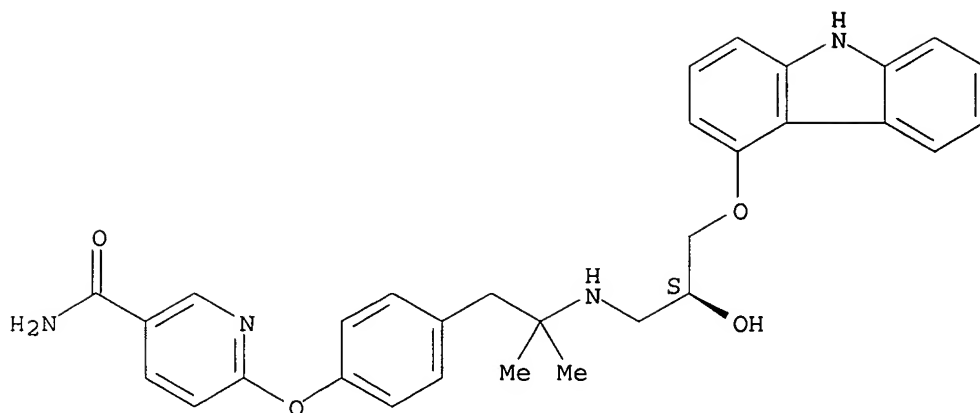
10/694,467

CM 1

CRN 204592-94-9

CMF C31 H32 N4 O4

Absolute stereochemistry.



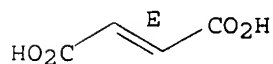
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



L5 ANSWER 4 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2002:160746 USPATFULL

TITLE: Selective  $\beta_3$  adrenergic agonists

INVENTOR(S): Crowell, Thomas A., Indianapolis, IN, United States

Evrard, Deborah A., Indianapolis, IN, United States

Jones, Charles D., Indianapolis, IN, United States

Muehl, Brian S., Indianapolis, IN, United States

Rito, Christopher J., Mooresville, IN, United States

Shuker, Anthony J., Indianapolis, IN, United States

Thorpe, Andrew J., Ann Arbor, MI, United States

Thrasher, Kenneth J., Indianapolis, IN, United States

PATENT ASSIGNEE(S): Eli Lilly and Company, Indianapolis, IN, United States  
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6413991	B1	20020702
APPLICATION INFO.:	US 2000-610096		20000630 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 68192, now patented, Pat. No. US 6140352		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-25818P	19960905 (60)
	US 1996-29228P	19961030 (60)

10/694,467

DOCUMENT TYPE: Utility  
FILE SEGMENT: GRANTED  
PRIMARY EXAMINER: Morris, Patricia L.  
LEGAL REPRESENTATIVE: Voy, Gilbert T., Skelton, Jeffrey J.  
NUMBER OF CLAIMS: 30  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)  
LINE COUNT: 3013

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed herein are selective beta 3 adrenergic agonists represented by the following structural formula: ##STR1##

The variables in the structural formula shown above are defined in the specification. Also disclosed are methods of using these compounds for agonizing the beta 3 adrenergic receptor in patients in need of such treatment, for example, patients in need of treatment for obesity or Type II diabetes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

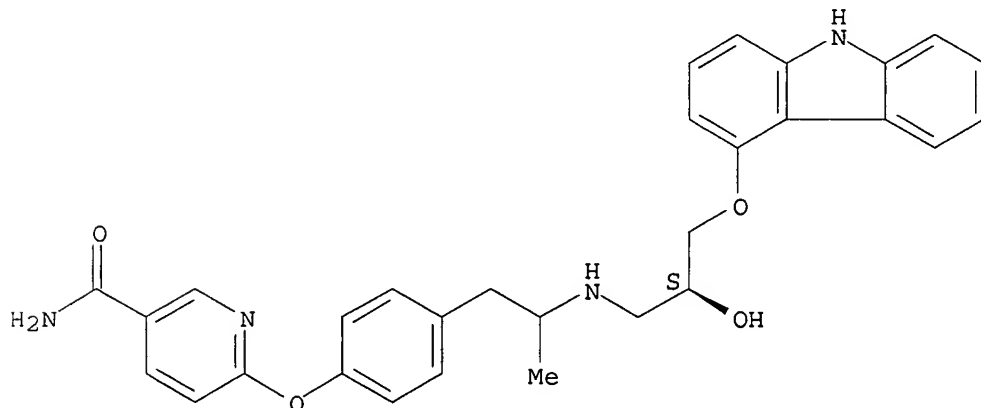
IT 204592-90-5P 204592-94-9P 204592-97-2P  
204593-31-7P 204593-32-8P 204593-36-2P  
204593-37-3P

(preparation of carbazole derivs. as adrenergic agonists)

RN 204592-90-5 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]propyl]phenoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

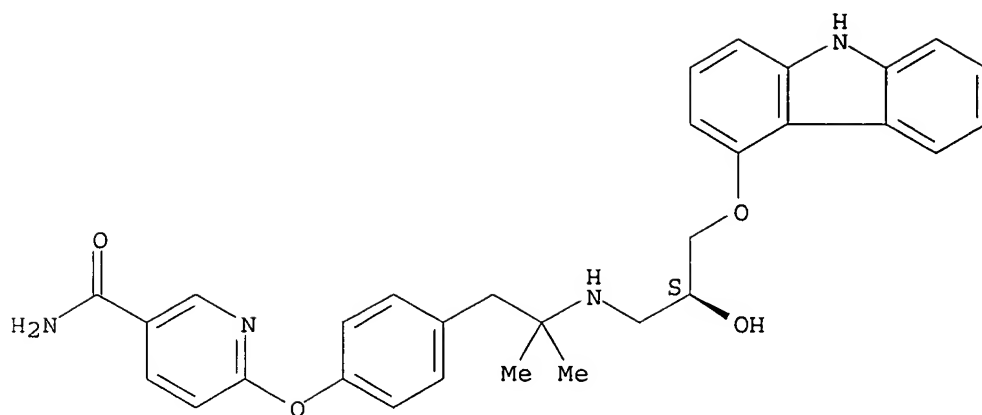


RN 204592-94-9 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

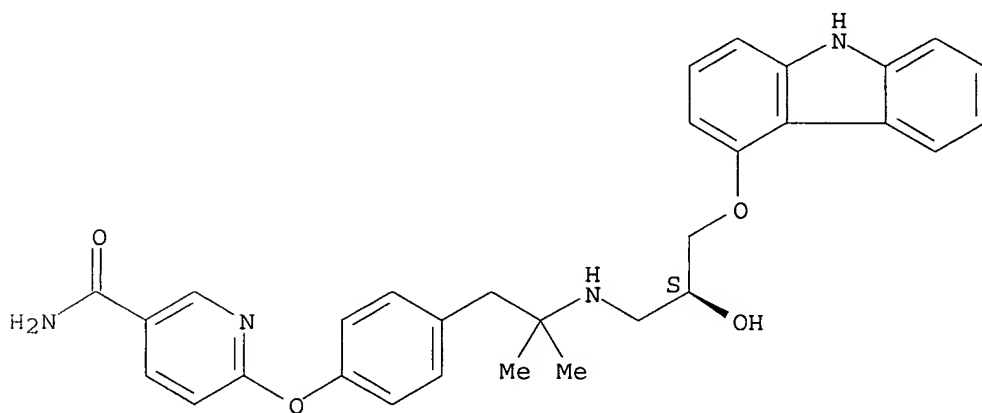
10/694,467



RN 204592-97-2 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[ (2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, monohydrochloride (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



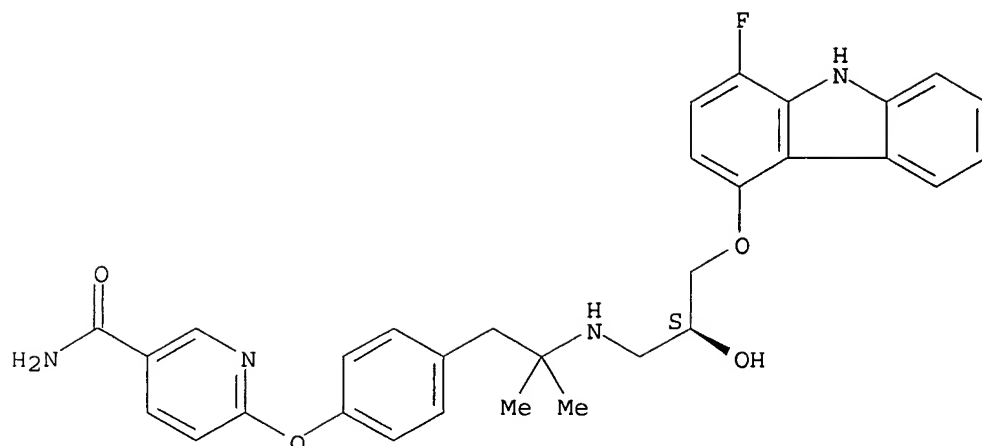
● HCl

RN 204593-31-7 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-[(1-fluoro-9H-carbazol-4-yl)oxy]-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

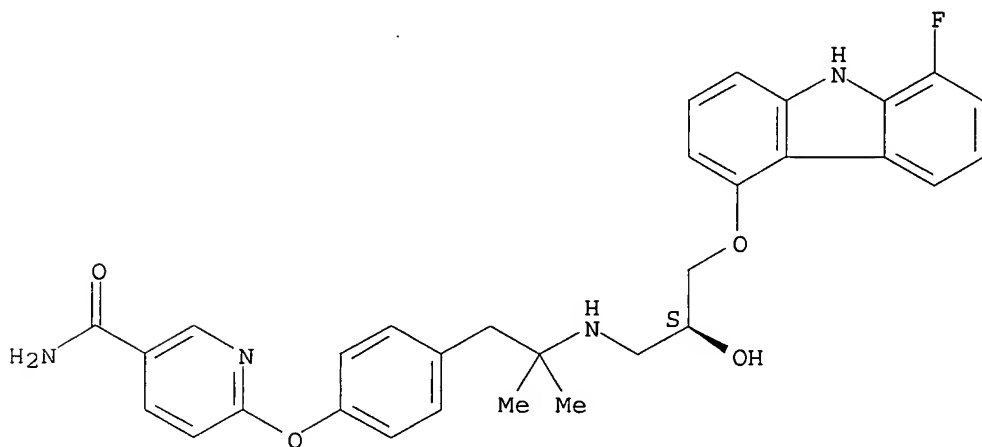
10/694,467



RN 204593-32-8 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-[(8-fluoro-9H-carbazol-4-yl)oxy]-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 204593-36-2 USPATFULL

CN Butanedioic acid, compd. with (S)-6-[4-[2-[[3-(9H-carbazol-4-yl)oxy]-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-3-pyridinecarboxamide (1:2) (9CI) (CA INDEX NAME)

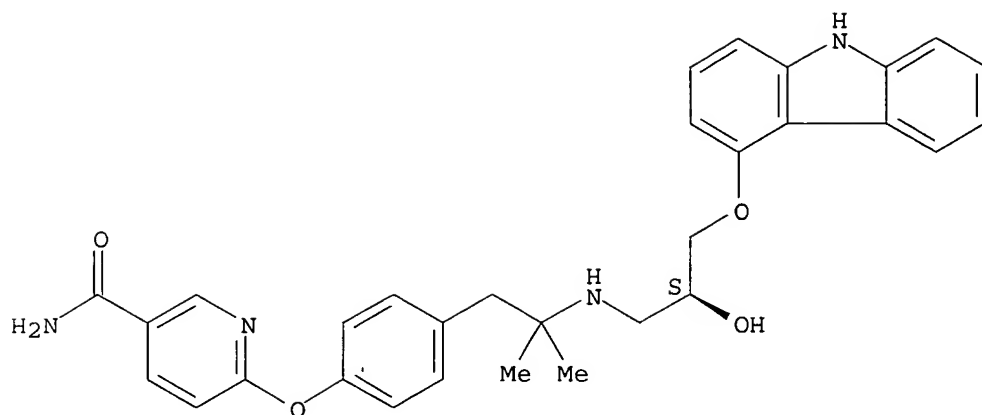
CM 1

CRN 204592-94-9

CMF C31 H32 N4 O4

Absolute stereochemistry.

10/694,467



CM 2

CRN 110-15-6

CMF C4 H6 O4

$\text{HO}_2\text{C}-\text{CH}_2-\text{CH}_2-\text{CO}_2\text{H}$

RN 204593-37-3 USPATFULL

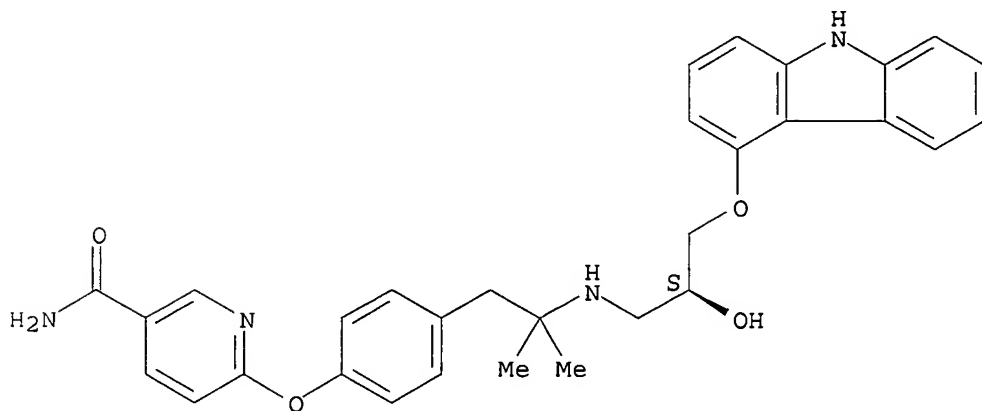
CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 204592-94-9

CMF C31 H32 N4 O4

Absolute stereochemistry.



CM 2

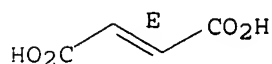
CRN 110-17-8

CMF C4 H4 O4

CDES 2:E



Double bond geometry as shown.



L5 ANSWER 5 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2000:146398 USPATFULL

TITLE: Carbazolyl-substituted ethanolamines as selective  $\beta$ -sub.3 agonists

INVENTOR(S): Crowell, Thomas A., Indianapolis, IN, United States  
 Evrard, Deborah A., Indianapolis, IN, United States  
 Jones, Charles D., Indianapolis, IN, United States  
 Muehl, Brian S., Indianapolis, IN, United States  
 Rito, Christopher J., Mooresville, IN, United States  
 Shuker, Anthony J., Indianapolis, IN, United States  
 Thorpe, Andrew J., Ann Arbor, MI, United States  
 Thrasher, Kenneth J., Indianapolis, IN, United States  
 PATENT ASSIGNEE(S): Eli Lilly and Company, Indianapolis, IN, United States  
 (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6140352		20001031
	WO 9809625		19980312
APPLICATION INFO.:	US 1998-68192		19980504 (9)
	WO 1997-US15230		19970828
			19980504 PCT 371 date
			19980504 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-25818P	19960905 (60)
	US 1996-29228P	19961030 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Stockton, Laura L.	
LEGAL REPRESENTATIVE:	Voy, Gilbert T.	
NUMBER OF CLAIMS:	41	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3226	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed herein are selective beta 3 adrenergic agonists represented by the following structural formula: ##STR1## The variables in the structural formula shown above are defined in the specification. Also disclosed are methods of using these compounds for agonizing the beta 3 adrenergic receptor in patients in need of such treatment, for example, patients in need of treatment for obesity or Type II diabetes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 204592-90-5P 204592-94-9P 204592-97-2P  
 204593-31-7P 204593-32-8P 204593-36-2P  
 204593-37-3P

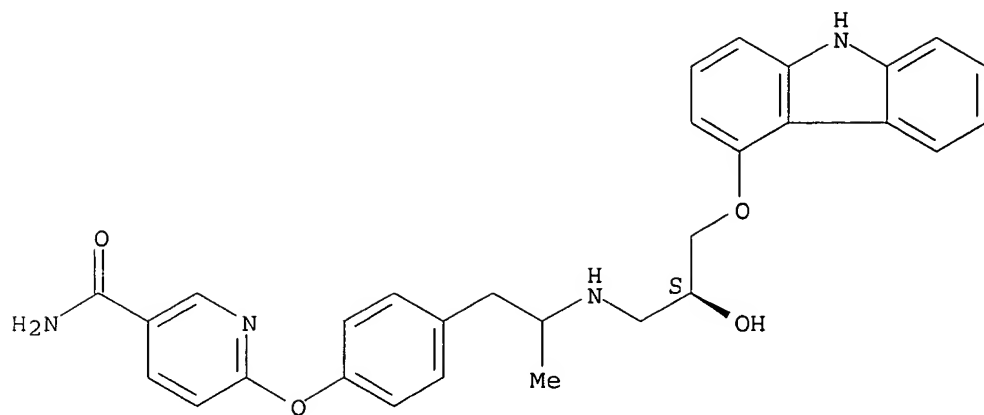
(preparation of carbazole derivs. as adrenergic agonists)

RN 204592-90-5 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]propyl]phenoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

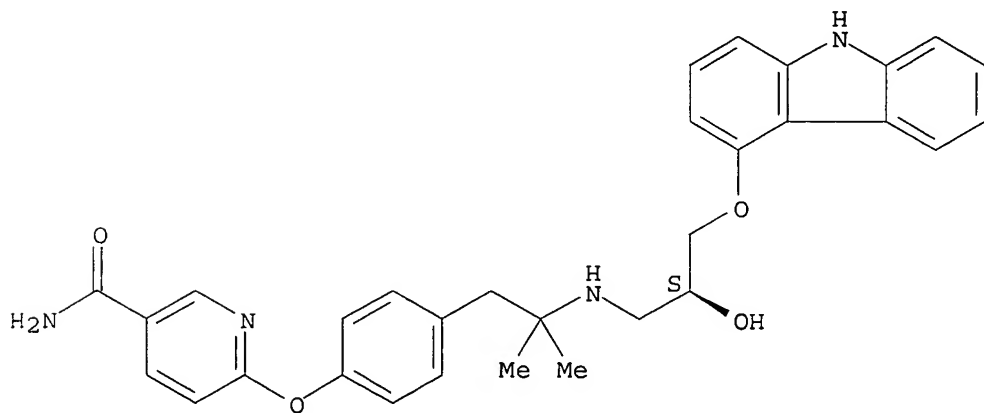
10/694,467



RN 204592-94-9 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

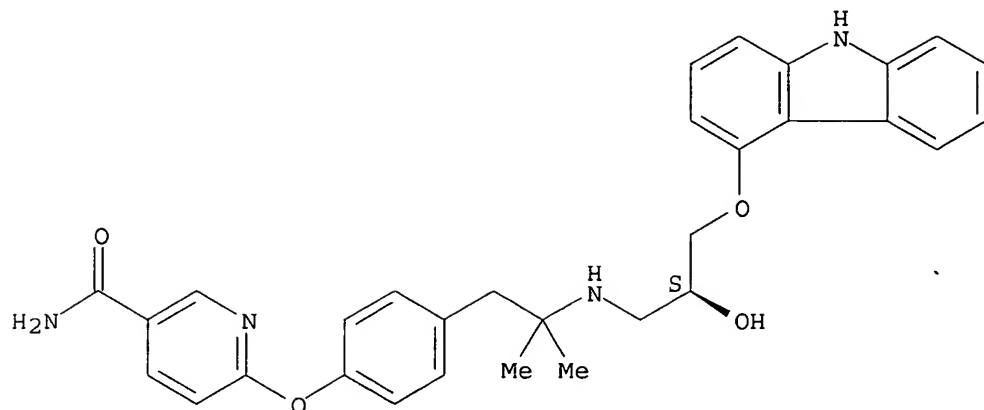


RN 204592-97-2 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/694,467

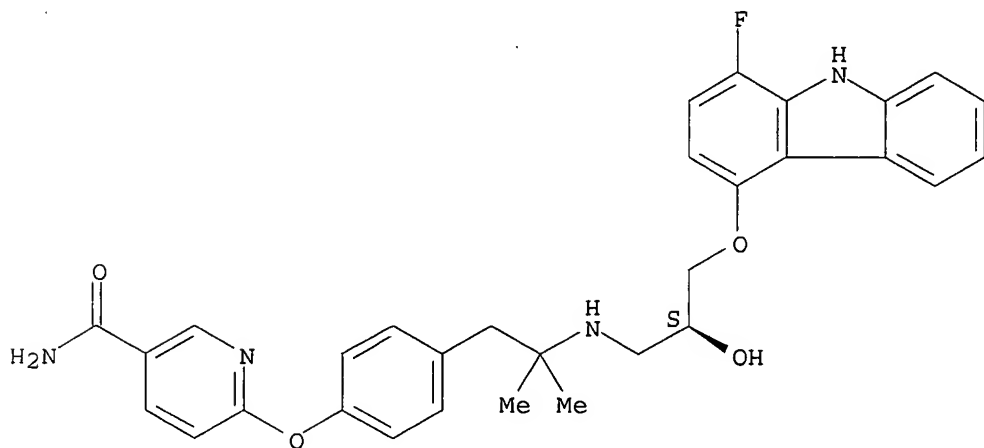


● HCl

RN 204593-31-7 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-[(1-fluoro-9H-carbazol-4-yl)oxy]-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

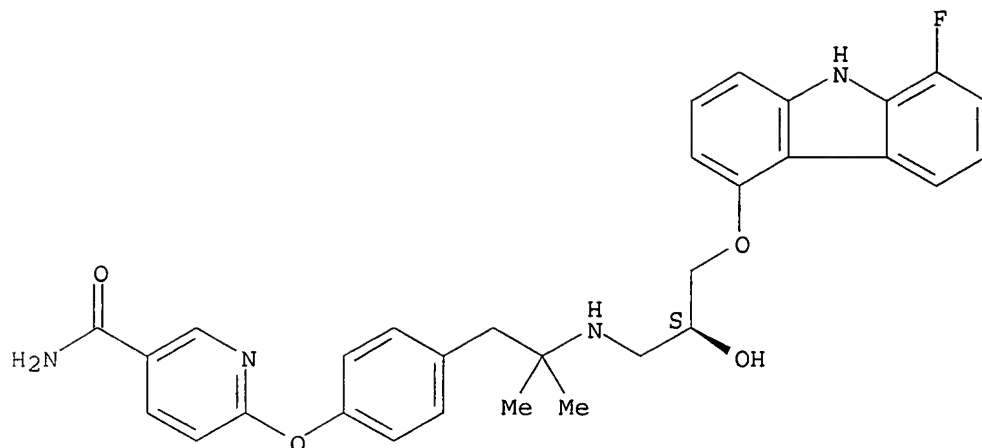


RN 204593-32-8 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-[(8-fluoro-9H-carbazol-4-yl)oxy]-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/694,467



RN 204593-36-2 USPATFULL

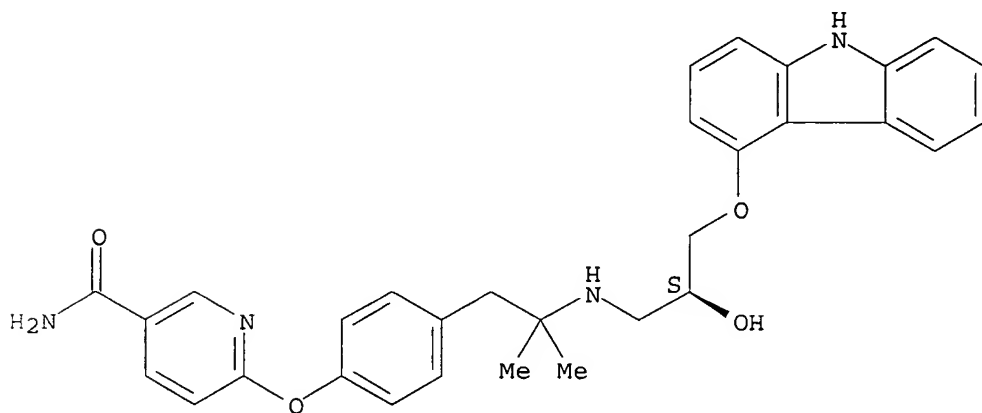
CN Butanedioic acid, compd. with (S)-6-[4-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-3-pyridinecarboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 204592-94-9

CMF C31 H32 N4 O4

Absolute stereochemistry.



CM 2

CRN 110-15-6

CMF C4 H6 O4

HO<sub>2</sub>C-CH<sub>2</sub>-CH<sub>2</sub>-CO<sub>2</sub>H

RN 204593-37-3 USPATFULL

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

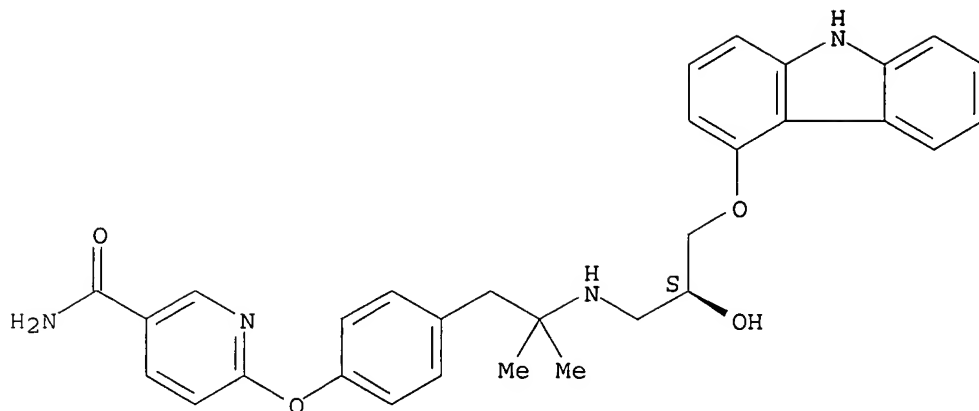
10/694,467

CM 1

CRN 204592-94-9

CMF C31 H32 N4 O4

Absolute stereochemistry.



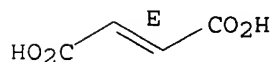
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



L5 ANSWER 6 OF 6 USPAT2 on STN

ACCESSION NUMBER: 2002:295187 USPAT2

TITLE: Selective  $\beta_3$  adrenergic agonists

INVENTOR(S): Crowell, Thomas A., Indianapolis, IN, United States

Evrard, Deborah A., Indianapolis, IN, United States

Jones, Charles D., Indianapolis, IN, United States

Muehl, Brian S., Indianapolis, IN, United States

Rito, Christopher J., Mooresville, IN, United States

Shuker, Anthony J., Indianapolis, IN, United States

Thorpe, Andrew J., Ann Arbor, MI, United States

Thrasher, Kenneth J., Indianapolis, IN, United States

PATENT ASSIGNEE(S): Eli Lilly and Company, Indianapolis, IN, United States  
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6686372	B2	20040203
APPLICATION INFO.:	US 2002-120302		20020410 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2000-610096, filed on 30 Jun 2000 Division of Ser. No. US 68192, now patented, Pat. No. US 6140352		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-25818P	19960905 (60)

10/694,467

US 1996-29228P 19961030 (60)  
DOCUMENT TYPE: Utility  
FILE SEGMENT: GRANTED  
PRIMARY EXAMINER: Morris, Patricia L.  
LEGAL REPRESENTATIVE: Voy, Gilbert T., Skelton, Jeffrey J.  
NUMBER OF CLAIMS: 17  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)  
LINE COUNT: 2937

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is in the field of medicine, particularly in the treatment of Type II diabetes and obesity. More specifically, the present invention relates to selective  $\beta$ .sub.3 receptor agonists useful in the treatment of Type II diabetes and obesity. The invention provides compounds and methods of treating type II diabetes and obesity, comprising administering to a mammal in need thereof compounds of the Formula I: ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

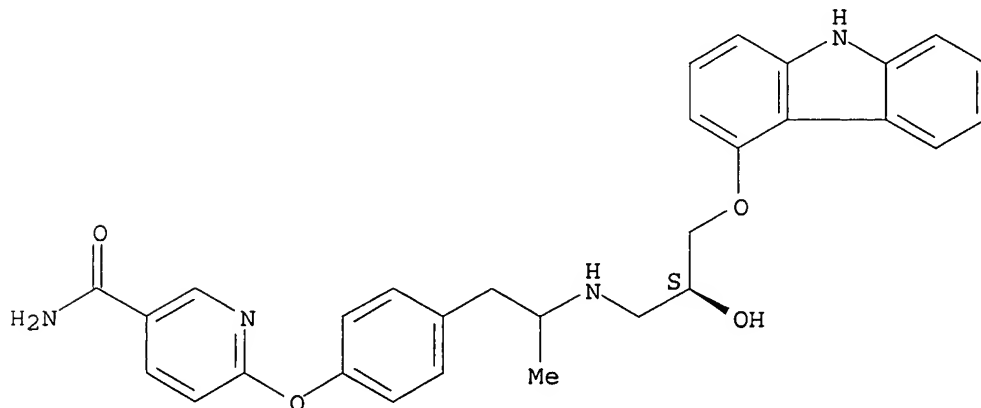
IT 204592-90-5P 204592-94-9P 204592-97-2P  
204593-31-7P 204593-32-8P 204593-36-2P  
204593-37-3P

(preparation of carbazole derivs. as adrenergic agonists)

RN 204592-90-5 USPAT2

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]propyl]phenoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

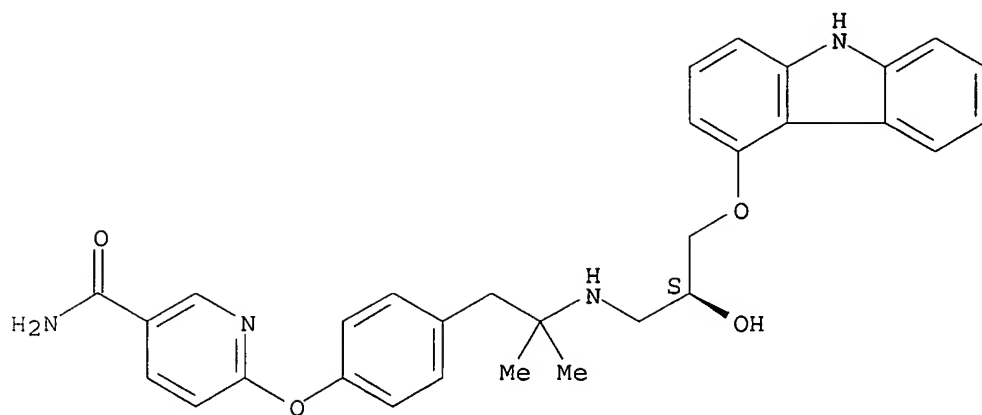


RN 204592-94-9 USPAT2

CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

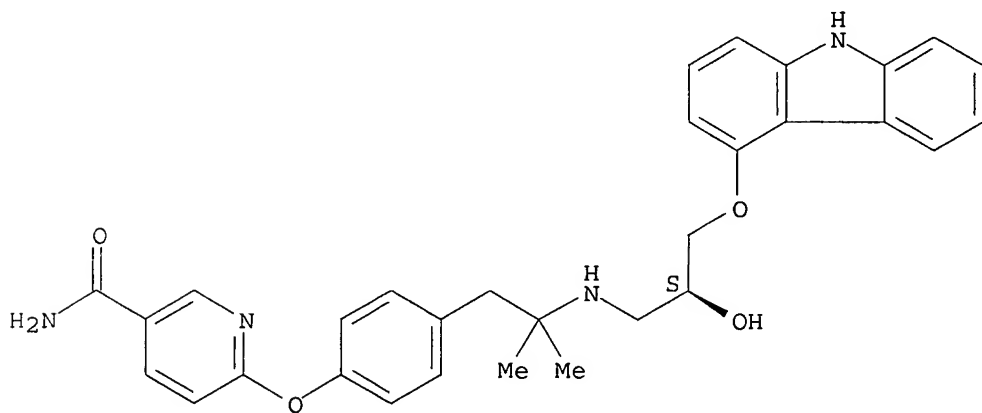
10/694,467



RN 204592-97-2 USPAT2

CN 3-Pyridinecarboxamide, 6-[4-[2-[[2S]-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, monohydrochloride (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



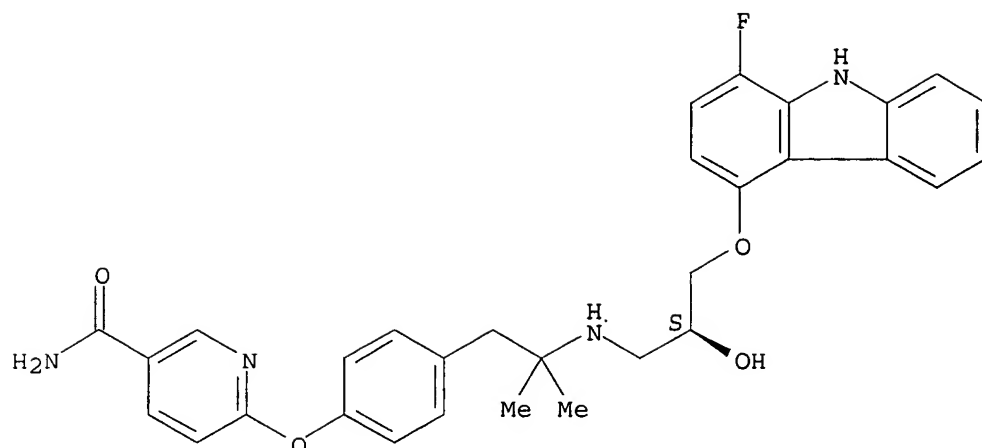
● HCl

RN 204593-31-7 USPAT2

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-[(1-fluoro-9H-carbazol-4-yl)oxy]-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

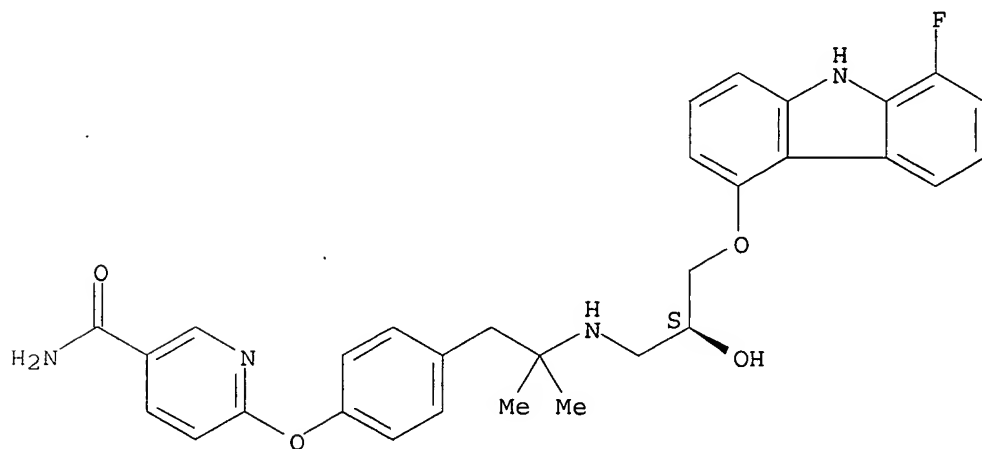
10/694,467



RN 204593-32-8 USPAT2

CN 3-Pyridinecarboxamide, 6-[4-[2-[[3-[(8-fluoro-9H-carbazol-4-yl)oxy]-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 204593-36-2 USPAT2

CN Butanedioic acid, compd. with (S)-6-[4-[2-[[3-(9H-carbazol-4-yl)oxy]-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-3-pyridinecarboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

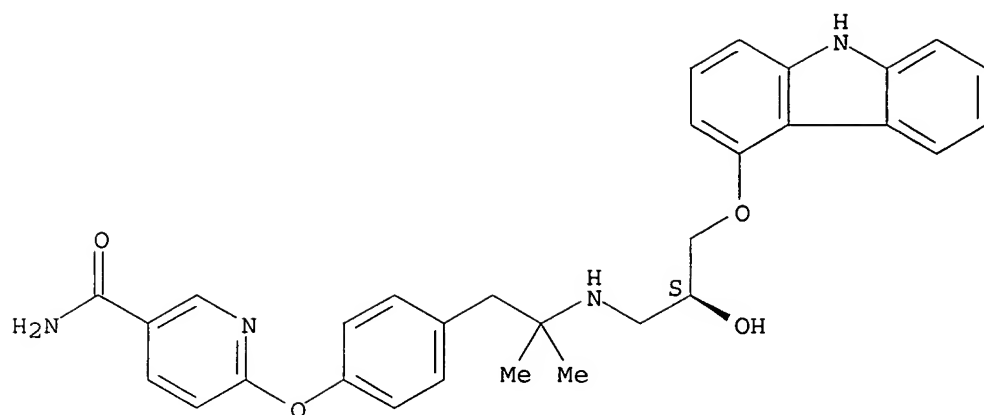
CRN 204592-94-9

CMF C31 H32 N4 O4

Absolute stereochemistry.



10/694,467



CM 2

CRN 110-15-6

CMF C4 H6 O4

HO<sub>2</sub>C-CH<sub>2</sub>-CH<sub>2</sub>-CO<sub>2</sub>H

RN 204593-37-3 USPAT2

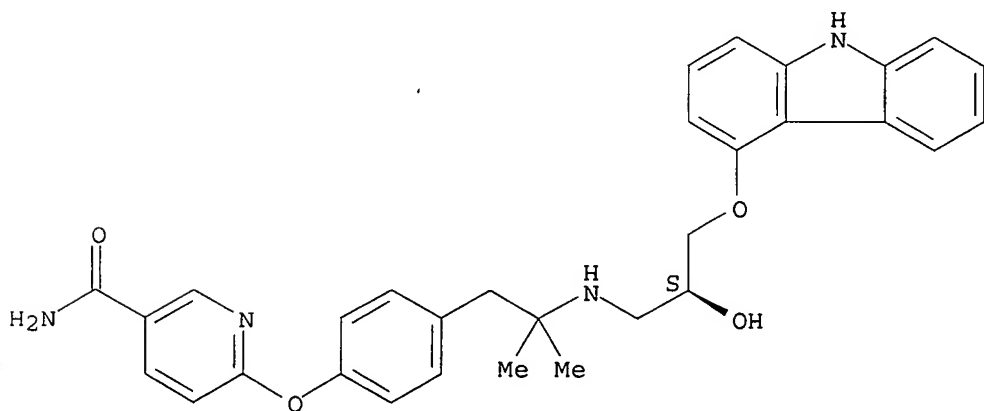
CN 3-Pyridinecarboxamide, 6-[4-[2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 204592-94-9

CMF C31 H32 N4 O4

Absolute stereochemistry.



CM 2

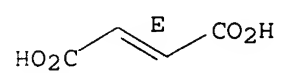
CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

10/694,467

Double bond geometry as shown.



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